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Slean John

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Document Listing

	Document	Selected Pages	Page Range	Copies
/	US005585378	16	1 - 16	1
	US005340366	6	1 - 6	1
	US005279616	7	1 - 7	1
	US005261926	7	1 - 7	1
	US005190564	7	1 - 7	1
	US004921503	6	1 - 6	1
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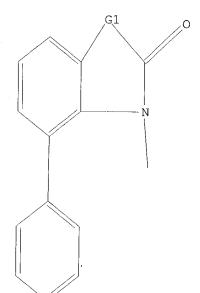
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L4 ANSWER 1 OF 1 CA COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 131:209113 CA

TITLE:

Antimycobacterial isatin and oxindole derivatives for

the treatment of mycobacterial diseases

Ramachandran, Janakiraman

Astra AB, Swed.

INVENTOR(S):

PATENT ASSIGNEE(S):

Page 1

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PCT Int. Appl., 26 pp.
SOURCE:
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                      KIND
                            DÁTE
                                            APPLICATION NO.
                                                             DATE
                            19990910
                                            WO 1999-SE319
     WO 9944608
                       A1
                                                             19990304
                                     /BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             AL, AM, AT, AØ, AZ, BA,
             DK, EE, ES, FI, GB, GD,
                                     GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,
             KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,
                             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
             MW, MX, NO, NZ,
             TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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                                            EP 1999-908059
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                            20020219
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     JP 2002505286
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PRIORITY APPLN. INFO.:
                                         IN 1998-MA464
                                                          Α
                                                             19980306
                                         SE 1998-1370
                                                          Α
                                                             19980420
                                         WO 1999-SE319
                                                          W
                                                             19990304
OTHER SOURCE(S):
                         MARPAT 131:209113
     The use of certain isatin and oxindole derivs. in the prepn. of a
AB
     medicament for use in the treatment of mycobacterial diseases is
     disclosed. Thus, 1-nonyl-7-phenyl-1H-indol-2,3-dione was prepd. by the
     reaction of 1-bromononane with 7-phenyl-1H-indole-2,3-dione (I).
     of I against Mycobacterium tuberculosis was .ltoreq.20 .mu.g/mL.
     242792-94-5P 242792-96-7P 242792-97-8P
TΤ
     242792-98-9P 242792-99-0P 242793-00-6P
     242793-01-7P 242793-02-8P 242793-03-9P
     242793-04-0P 242793-05-1P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (antimycobacterial isatin and oxindole derivs. for treatment of
        mycobacterial diseases)
     242792-94-5 CA
RN
     1H-Indole-2,3-dione, 1-nonyl-7-phenyl- (9CI) (CA INDEX NAME)
CN
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RN 242792-96-7 CA

CN 1H-Indole-2,3-dione, 1-heptyl-7-phenyl- (9CI) (CA INDEX NAME)

RN 242792-97-8 CA

CN 1H-Indole-2,3-dione, 1-octyl-7-phenyl- (9CI) (CA INDEX NAME)

RN 242792-98-9 CA

CN 1H-Indole-2,3-dione, 1-decyl-7-phenyl- (9CI) (CA INDEX NAME)

RN 242792-99-0 CA

CN 1H-Indole-2,3-dione, 7-phenyl-1-undecyl- (9CI) (CA INDEX NAME)

RN 242793-00-6 CA

CN 1H-Indole-2,3-dione, 1-pentyl-7-phenyl- (9CI) (CA INDEX NAME)

RN 242793-01-7 CA

CN 1H-Indole-2,3-dione, 1-butyl-7-phenyl- (9CI) (CA INDEX NAME)

RN 242793-02-8 CA

CN 1H-Indole-2,3-dione, 1-(2-methylpropyl)-7-phenyl- (9CI) (CA INDEX NAME)

RN 242793-03-9 CA

CN 1H-Indole-2,3-dione, 1-hexyl-7-phenyl- (9CI) (CA INDEX NAME)

RN 242793-04-0 CA

CN 1H-Indole-2,3-dione, 1-dodecyl-7-phenyl- (9CI) (CA INDEX NAME)

RN 242793-05-1 CA

1H-Indole-2,3-dione, 1-(4-bromobutyl)-7-phenyl- (9CI) (CA INDEX NAME) CN

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=> s 11 full

35 SEA SSS FUL L1

=> d ibib abs fqhit 1-35

ANSWER 1 OF 35 MARPAT COPYRIGHT 2004 ACS on STN

3

ACCESSION NUMBER:

139:101024 MARPAT

TITLE:

Preparation of 2-oxindole derivs. as glycogen synthase kinase-3 (GSK3) inhibitors for use in pharmaceutical compositions for treatment of neurodegenerative

diseases

Berg, Stefan; Bhat, Ratan; Edwards, Philip; Hellberg,

Sven

PATENT ASSIGNEE(S):

Astrazeneca AB, Swed.

SOURCE:

PCT Int. Appl., 84 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

INVENTOR(S):

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PAT | ENT | NO. | | KI | ND | DATE | - | | A | PPLI | CATI | ON N | 0. | DATE | | | |
|----------------|------------------------|-----|-----|-----|----------------------------------|------|------|-----|-----|--------|------|------|-----|------|-------------------|-------|------|
| WO | 2003 | | | A | | 2003 | | | W | 0 20 | 02-s | E237 | 0 | 2002 | -
1218 | | |
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| | | GM, | HR, | ΗU, | ΙŅ, | ΙL, | ŹΝ, | IS, | JP, | ΚE, | KG, | KP, | KR, | KZ, | LC, | LK. | LR. |
| | | LS, | LT, | LU, | $\Gamma \Lambda \gamma^{\prime}$ | MAY | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NZ. | OM, | PH. |
| | PL, PT, | | | | | SC, | SD, | SE, | SG, | SK, | SL, | TJ, | TM. | TN. | TR. | TT. | ΤΖ. |
| | UA, UG, | | | | | VC, | VN, | YU, | ZA, | ZM, | ZW, | AM. | AZ, | BY. | KG. | KZ. | MD. |
| | | | ТJ, | | | | | · | • | • | | • | · | , | , | , | , |
| | RW: | GH, | GM, | ΚE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AT. | BE. | BG. |
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| | | PT, | SE, | SI, | SK, | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | GO. | GW. | MI., |
| | | | ΝE, | | | | | | | | • | • | • | • | - 2.7 | • • • | , |
| PRIORITY
GI | PRIORITY APPLN. INFO.: | | | | | | | | U | 5. 200 | 01-3 | 4488 | 7 P | 2001 | 1221 | | |

AΒ 2-Oxindoles, such as I [R = substituted- or unsubstituted-quinazolin-4-yl; R2 = OH, CH2F, CF3, OCF3, CN, NH2, NO2, alkyl, alkoxy, acyloxy, acyl, alkylthio, etc.; m = 0-4], were prepd. for the rapeutic use as GSK3 inhibitors. These oxindoles are intended for therapeutic use in the treatment of GSK3 assocd. diseases, such as Alzheimer's disease, dementia, Parkinson dementia complex of Guam, frontotemporal dementia Parkinson's type, HIV dementia, neurofibrillar tangle pathologies, predemented states, vascular dementia, dementia with Lewy bodies, dementia pugilistic and age related cognitive disorders, as well as for male contraception and treatment of diabetes, amyotrophic lateral sclerosis, corticobasal degeneration, Down's syndrome, Huntington's disease, Parkinson's disease, postencephelatic Parkinsonism, progressive supranuclear palsy, Pick's disease, Niemann-Pick's disease, stroke, head trauma, bipolar disease, affective disorders, depression, schizophrenia, cognitive disorders and androgenetic alopecia. Thus, the dihydrochloride salt of oxindole II was prepd. in 68% yield by a coupling reaction of 5-cyanooxindole with 4-chloro-7-(2-morpholinoethoxy) quinazoline in DMF using NaH. The prepd. oxindoles were tested for GSK3 inhibition using the GSK3.beta. proximity assay.

$$G1$$
 $G2$ $G1$ N $G1$ $G1$

= Ph (SO (1-2) G11)

= alkyl < (1-3) >

MPL: claim 25

REFERENCE COUNT:

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

MARPAT COPYRIGHT 2004 ACS on STN ANSWER 2 OF 35

ACCESSION NUMBER:

136:183610 MARPAT

TITLE:

Heterocyclic sulfonamide derivatives

INVENTOR(S):

Bender, David Michael; Forman, Scott Louis; Jones,

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS

Winton Dennis; Smith, Daryl Lynn; Zarrinmayeh,

Hamideh; Zimmerman, Dennis Michael

PATENT ASSIGNEE(S):

Eli Lilly and Company, USA

SOURCE:

PCT Int. Appl., 58 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

PATENT INFORMATION:

FAMILY ACC. NUM. COUNT:

PATENT NO. KIND APPLICATION NO. DATE WO 2002014294 A2 20020221 WO 2001-US21121 20010727 WO 2002014294 АЗ 200206**þ**6 AE, AG, AL, AM, AT, AD, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, /MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, RO, RU, SD, SE, ʹŞG,/ UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,

AU 2001082865 Α5 20020225 AU 2001-82865 20010727 EP 1309577 Α2 20030514 EP 2001-961615 20010727

AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR 20031204

US 2003225127 A1

US 2003-343186 20030401 US 2000-224573P 20000811

PRIORITY APPLN. INFO.: WO 2001-US21121 20010727

AB The present invention provides certain heterocyclic sulfonamide derivs. useful for potentiating glutamate receptor function in a patient and therefore, useful for treating a wide variety of conditions, such as psychiatric and neurol. disorders. Fifteen title compds. such as $6-[4-(1-methyl-2-\{[(methylethyl)sulfonyl]amino\}ethyl)phenyl]-3$ hydrobenzothiazol-2-one, and 5-[4-((1R)- and -(1S)-1-methyl-2-{[(methylethyl)sulfonyl]amino}ethyl)phenyl]indolin-2-ones were prepd. in 17-67% yields by std. methods.

MSTR 1

G3 = phenylene (SO (1-2) G5) G4

G6 = alkyl<(1-6)>

G10 = 0 G13 = 55

-G8

MPL: claim 1

NTE: substitution is restricted

or pharmaceutically acceptable salts

ANSWER 3 OF 35 MARPAT COPYRIGHT 2004 ACS on STN L5

ACCESSION NUMBER:

136:183609 MARPAT

TITLE: Heterocyclic sulfonamide derivatives INVENTOR(S):

Forman, Scott Louis; Jones, Winton Dennis; Smith,

Daryl Lynn; Zarrinmayeh, Hamideh; Zimmerman, Dennis

Michael

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 49 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE:

English 1

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND DATE | APPLICATION NO. | DATE |
|--|--|---|---|
| WO 2002014275
WO 2002014275 | A2 (20020321
A3 (20020580 | WO 2001-US21122 | |
| CO, CR,
GM, HR,
LS, LT,
RO, RU, | AL, AM, AT, AU, AZ
CU, CZ, DE, DK, DM
HU, ID, IL, IN, IS
LU, LV, MA, MD, MG
SD, SE, SG, SI, SK | , BA, BB, BG, BR, BY, DZ, EC, EE, ES, FI, JP, KE, KG, KP, KR, MK, MN, MX, MZ, SL, TJ, TM, TR, TT, BY, KG, KZ, MD, RU, | GB, GD, GE, GH, KZ, LC, LK, LR, NO, NZ, PL, PT, TZ, UA, UG, US. |

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2001080470 20020225 Α5 AU 2001-80470 20010727 EP 1313719 Α2 20030528 EP 2001-958860 20010727 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR US 2003220369 20031127 US 2003-332941 A1 20030113 PRIORITY APPLN. INFO.: US 2000-224497P 20000811 WO 2001-US21122

The present invention provides certain heterocyclic sulfonamide derivs. AΒ useful for potentiating glutamate receptor function in a patient and therefore, useful for treating a wide variety of conditions, such as psychiatric and neurol. disorders. Ten title compds. such as 4-, 5-, 6and 7-[4-(1-fluoro-1-methyl-2-{[(methylethyl)sulfonyl]amino}ethyl)phenyl]i ndol-2-ones were prepd. in 20-50% yields by std. methods.

20010727

MSTR 1

G3 = phenylene (SO
$$(1-2)$$
 G5)
G4 = 62

G6 = alkyl < (1-6) >G10 = 0G13 = 55

-G8

MPL: claim 1

NTE: substitution is restricted

NTE: or pharmaceutically acceptable salts

ANSWER 4 OF 35 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

136:64132 MARPAT

TITLE:

Use of microsomal triglyceride transfer protein inhibitors for reducing the number of postprandial

triglyceride-rich lipoprotein particles

INVENTOR(S):

Grutzmann, Rudi; Muller, Ulrich; Bischoff, Hilmar;

Zaiss, Siegfried

PATENT ASSIGNEE(S):

Bayer Aktiengesellschaft, Germany

SOURCE:

PCT Int. Appl., 78 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

FAMILY ACC. NUM. COUNT:

German

PATENT INFORMATION:

| ע כז | mesim | NO | | TZT. | MD | | | | _ | | ~ | | _ | _ | | | |
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| PA | TENT | NO. | | V.T | ND. / | DATE | $\overline{}$ | | А | PAPT | CATT | ON N | Ο. | DATE | | | |
| | 2001 | | | A | , | 2901 | | | W | 0 20 |
01-Е | P652 |
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0608 | | |
| WO | 2001 | | | | | | | | | | | - | | | | | |
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| | | DE, | DK, | ES, | FΙ, | FR, | GB, | GR, | ΙE, | ΙT, | LU, | MC, | NL, | PT, | SE, | TR, | BF, |
| | | ВJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | GW, | ML, | MR, | ΝE, | SN, | TD, | TG | | |
| DE | 1003 | 0375 | | A. | | | | | | | | | | 20000 | | | |
| ĒΡ | 1296 | | | | 2 : | 2003 | 0402 | | El | P 20 | 01 - 9 | 5157 | 1 | 20010 | 0608 | | |
| | R: | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | MC, | PT, |
| | | ΙE, | SI, | LT, | LV, | FΙ, | RO, | MK, | CY, | AL, | TR | | | | - | • | • |
| JP | 2003 | 53588 | 88 | T_{2}^{2} | 2 : | 2003 | 1202 | | JI | 200 | 02-50 | 03264 | 1 | 20010 | 0608 | | |
| US | 2004 | 0147 | 48 | A. | 1 : | 2004 | 0122 | | US | 3 200 | 03-3 | 1176 | 1 | 20030 | 0512 | | |
| PRIORIT | Y APP | LN. | INFO | .: | | | | | DF | E 200 | 00-10 | 0030 | 375 | 20000 | 0621 | | |
| | | | | | | | | | | 200 | 01-E | 26526 | 5 | 20010 | 608 | | |

AΒ Inhibitors of the microsomal triglyceride transfer protein are used for reducing the no. of postprandial triglyceride-rich lipoprotein particles or for reducing their decompn. products i.e. the cholesterol-rich "small remnant particle" (remnants). The particles are assocd. with apolipoprotein B-48.

$$G1 = 45$$

$$\begin{array}{c}
G2 \\
G2 \\
G2 \\
G2
\end{array}$$

$$\begin{array}{c}
G5 \\
A5
\end{array}$$

$$G2 = Ph$$

G5 = C(O) G6 = O

MPL: claim 4
NTE: and salts

STE: and isomeric forms

L5 ANSWER 5 OF 35 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 135:180701 MARPAT

TITLE: Preparation of 4-hydroxyoxoindoles

INVENTOR(S): Furukawa, Yoshiro PATENT ASSIGNEE(S): Daiso Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:



II

AB Title compds. I (R1-R6 = H, alkyl, cycloalkyl, aryl, aralkyl, etc.) are prepd. by dehydrogenation of dioxoindoles II (R1-R6 = same as above) in solvents. 2,4-Dioxo-2,3,4,5,6,7-hexahydroindole was dehydrogenated in the presence of Pd/C in ethylene glycol monobutyl ether acetate under reflux to give 95% 2,3-dihydro-4-hydroxy-2-oxoindole.

MSTR 2

R6

G1= CO2H (SO) / Ph claim 1 MPL:

ANSWER 6 OF 35 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

135:76794 MARPAT

TITLE:

High-yield method for producing 2-indolones by the reduction of isatins with hydrazine hydrate in the

presence of tertiary amine catalysts

INVENTOR(S):

Hendel, Wolfram; Schwendinger, Karl; Felfer, Ulfried

DSM Fine Chemicals Austria G.m.b.H., Austria

PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 17 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PATENT NO.
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     WO 2001047884
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                                          WO 2000-EP12010 20001130
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     EP 1242376
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                                           EP 2000-988767
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             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     US 2004014986
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                                                            20020626
PRIORITY APPLN. INFO.:
                                           AT 1999-2182
                                                            19991227
                                           WO 2000-EP12010 20001130
OTHER SOURCE(S):
                         CASREACT 135:76794
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GΙ

AB 2-Indolones (I; R = H, CH3, Ph, PhCH2; R1 = H, C1-4 alkyl, alkoxy, Ph, phenoxy, halogen, amino, nitro, hydroxy) (e.g., 2-indolone) are prepd. in high yield and selectivity by redn. of the corresponding isatins (II; e.g., 2,3-indoledione) with hydrazine hydrate in a polar solvent (e.g., 2-ethylhexanol) at 15-185.degree. to form an unisolated corresponding isatin hydrazone which directly undergoes further redn. to form the corresponding 2-indolone by adding diazabicyclooctane and/or diazabicycloundecane and/or ethyldiisopropylamine as a catalyst at 100-185.degree. and then the produced reaction water is distd. off. The I is isolated from the reaction mixt. by distg. off the solvent and by means of crystn. in an ether solvent.

MSTR 1

$$G2$$
 $G2$
 $G2$
 $G2$
 $G3$
 $G4$
 $G5$
 $G5$
 $G6$
 $G6$

G1 = Me G2 = Ph

MPL: claim 1

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 35 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

134:193446 MARPAT

TITLE:

Preparation of heterocyclic compounds as inhibitors of

factor Xa

INVENTOR(S):

Zhu, Bing-Yan; Scarborough, Robert M.; Clizbe, Lane; Doughan, Brandon; Jia, Zhaozhong-Jon; Kane-Maguire, Kim; Marlowe, Charles; Song, Yonghong; Su, Ting; Teng,

Willy; Zhang, Penglie

PATENT ASSIGNEE(S):

Cor Therapeutics, Inc., USA; et al.

SOURCE:

PCT Int. Appl., 387 pp.

DOCUMENT TYPE:

CODEN: PIXXD2

LANGUAGE:

Patent English FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

| PA | TENT | NO. | | KI | ND | DATE | | | A | PPLI | CATI | ON N | Ο. | DATE | | | |
|---------|----------------------|------|-----|-----|-----|------|------|-----|-----|-------|------|------|-----|------|------|-----|-----|
| | | | | | | | | | _ | | | | | | | | |
| WO | 2001 | 0126 | 00 | А | 1 | 2001 | 0222 | | W | 0 20 | 00-U | S217 | 42 | 2000 | 0810 | | |
| WO | 2001 | 0126 | 00 | C | 2 | 2002 | 0912 | | | | | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | ΑT, | ΑU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, |
| | | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EE, | ES, | FI, | GB, | GD, | GE, | GH, | GM, | HR, |
| | | HU, | ID, | IL, | IN, | IS, | JP, | KΕ, | KG, | ΚP, | KR, | ΚZ, | LC, | LK, | LR, | LS, | LT, |
| | LU, LV | | | | MD, | MG, | MK, | MN, | MW, | MX, | ΜZ, | NO, | NΖ, | PL, | PT, | RO, | RU, |
| | SD, SE | | | | SI, | SK, | SL, | ΤJ, | TM, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VN, |
| | | | | | | ΑZ, | | | | • | | | | | | | |
| | RW: | | | | | | | | | | | | | ΑT, | | | |
| | | DE, | DK, | ES, | FI, | FR, | GB, | GR, | IE, | ΙΤ, | LU, | MC, | ΝL, | PT, | SE, | BF, | ВJ, |
| | | | | | | GΑ, | | | ML, | MR, | NE, | SN, | TD, | TG | | | |
| US | US 6534535 | | | | | | 0318 | | U | S 20 | 00-6 | 3680 | 4 | 2000 | 0180 | | |
| PRIORIT | PRIORITY APPLN. INFO | | | | | | | | U | S 19: | 99-1 | 4862 | 7 P | 1999 | 0812 | | |
| | | | | | | | | | U: | S 200 | 00-2 | 0220 | 2P | 2000 | 0505 | | |
| | | | | | | | | | | | | | | | | | |

GΙ

The title compds. [I; A = alkyl, cycloalkyl, (un)substituted Ph, etc.; Q = a direct link, CH2, CO, etc.; D = (un)substituted Ph, 6-membered heteroaryl having 1-2 ring N atoms; M = NR16CO, NR16CS, CR17R18CO, etc.; R16-R18 = H, halo, alkyl, etc.; E = a direct link, CO, CONR5, etc.; R5 = alkyl, alkenyl, alkynyl, etc.; G = a direct link, CR7R8, CR7aR8aCR7bR8b, CR7c:CR8c; R7, R8, R7a, R7b, R7c, R8a, R8b, R8c = H, halo, alkyl, etc.; J = a direct link, O, S, etc.; Y = (un)substituted Ph, naphthyl, monocyclic or fused bicyclic heterocyclyl; L = H, CN, CONR12R13; R12, R13 = H, alkyl, OH, etc.] having activity against mammalian factor Xa, and useful in vitro or in vivo for preventing or treating coagulation disorders, were prepd. and formulated. E.g., a multi-step synthesis of the title compd. II was given.

G1 = 27-28 25-2 26-3

G3 = 41-1 42-3

G4 = CH2 (SO)

G5 = 0

G10 = Ph (SO)

= 131-3 133-112

MPL:

REFERENCE COUNT:

additional ring formation also claimed

substitution is restricted

13

ANSWER 8 OF 35 MARPAT COPYRIGHT 2004 ACS on STN ACCESSION NUMBER:

134:76382 MARPAT

TITLE: Combinations of microsomal triglyceride-exchanging

protein (MTP) inhibitors and HMG CoA reductase

THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

inhibitors and their use in medicaments

INVENTOR(S): Gruetzmann, Rudi; Mueller, Ulrich; Bischoff, Hilmar

PATENT ASSIGNEE(S): Bayer A.-G., Germany

SOURCE: Ger. Offen., 44 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

```
2000122
     DE 19929065
                       Α1
                                           DE 1999-19929065 19990625
     WO 2001000183
                       Α2
                            20010104
                                           WO 2000-EP5410
                                                             20000613
     WO 2001000183
                       А3
                            200105/10
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
             CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
                            JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
             ID, IL, IN, IS,
             LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,
             SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
             ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
             CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                      A2
                                         EP 2000-942056 20000613
     EP 1196194
                            20020417
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
PRIORITY APPLN. INFO.:
                                           DE 1999-19929065 19990625
                                           WO 2000-EP5410
                                                            20000613
```

AB The invention concerns the use of a combination of at least one selected MTP inhibitor (component A) and an HMG CoA reductase inhibitor (component B) for the fight against cardiovascular illnesses. An example of component A is (2S)-2-cyclopentyl-2-[4-(2,4-dimethylpyrido[2,3-b]indol-9-ylmethyl)phenyl]-N-(2-(1R)hydroxy-1-phenylethyl)acetamide. An example of component B is Atorvastatin.

MSTR 2

G1 = 34

$$\begin{array}{c|c}
G2 \\
G2 \\
G2 \\
G2
\end{array}$$

$$\begin{array}{c}
G6 \\
G7 \\
G2
\end{array}$$

G2 = Ph G6 = C(O) G7 = O MPL: claim 1

L5 ANSWER 9 OF 35 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 134:76381 MARPAT

TITLE:

Combinations of microsomal triglyceride-exchanging protein (MTP) inhibitors with hypolipemics and their

use in medicaments

INVENTOR(S):

Gruetzmann, Rudi; Mueller, Ulrich

PATENT ASSIGNEE(S):

Bayer A.-G., Germany Ger. Offen., 46 pp.

SOURCE:

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

1

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| P | ATENT | NO. | | KI | ND | DATE | | | | | | | Ο. | DATE | | | |
|---------------------|---|---------------------------------|--|---|---|---|---|--|---|--|---|--|----------------------------|--|-----------------------------------|----------------------------------|--------------------------|
| W | E 1992
O 2001
O 2001 | 0001 | 84 | A. | 2 / | 2000
2001
2001 | 0104 | | D: | | 99-1 | 9929 | | 1999
2000 | – . | | |
| | W: AE, AG CU, CZ ID, II LV, MA SE, SG ZA, ZW RW: GH, GM DE, DK CF, CG | | | | AM,
DK,
IS,
MG,
SK,
AZ,
LS, | AT,
DM,
JB,
MK,
SL,
BY,
MW, | AU,
DZ,
KE,
MN,
TJ,
KG,
MZ, | EE,
KG,
MW,
TM,
KZ,
SD, | ES,
KP,
MX,
TR,
MD,
SL, | FI,
KR,
MZ,
TT,
RU,
SZ, | GB,
KZ,
NO,
TZ,
TJ,
TZ, | GD,
LC,
NZ,
UA,
TM
UG, | GE,
LK,
PL,
UG, | GH,
LR,
PT,
US, | GM,
LS,
RO,
UZ, | HR,
LT,
RU,
VN, | HU,
LU,
SD,
YU, |
| AB The ir me the (2 | ne inv
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$$G1 = 34$$

$$\begin{array}{c|c}
G2 & G6 \\
G2 & 34 \\
G2 & 34
\end{array}$$

$$G2$$
 = Ph
 $G6$ = C(O)
 $G7$ = O
MPL: claim 1

ANSWER 10 OF 35 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

134:76380 MARPAT

TITLE:

Combination of microsomal triglyceride-exchanging protein (MTP) inhibitors and metabolism-affecting

active substances and its use in medicaments

INVENTOR(S):

Gruetzmann, Rudi; Mueller, Ulrich

PATENT ASSIGNEE(S):

Bayer A.-G., Germany Ger. Offen., 46 pp.

SOURCE:

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATE | ENT | NO. | | KI | ND | DATE | | | A | PPLI | CATI | ON NC | Э. | DATE | | | |
|----------|------|----------------------|------|--------|----------|------------------------------|-------|------|------|-------|-------|-------|------|-------|-------|-----|-------|
| WO 2 | 2001 | 9012
0001
0001 | 89 | A
A | -
2 / | 2000
2001
2001
2001 | 0104 | | | E 19: | | - | | 1999 | | | |
| | W: | ÆΕ, | AG, | | | | | | | | | | | CA, | | | |
| | | CU, | CZ, | DE, | DK, | DM, | øΖ, | EE, | ES, | FΙ, | GB, | GD, | GE, | GH, | GM, | HR, | HU, |
| | | | | | | | | | | | | | | LR, | | | |
| | | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NO, | NZ, | PL, | PT, | RO, | RU, | SD, |
| | | SE, | SG, | SI, | SK, | SL, | ТJ, | TM, | TR, | TT, | TZ, | UA, | UG, | US, | UZ, | VN, | YU, |
| | | | | | | | KG, | | | | | | | | | | |
| | RW: | GH, | GM, | KE, | LS, | MW, | ΜZ, | SD, | SL, | SZ, | TZ, | UG, | ZW, | AT, | BE, | CH, | CY, |
| | | | | | | | | | | | | | | PT, | | | |
| | | CF, | CG, | CI, | CM, | GΑ, | GN, | GW, | ML, | MR, | NE, | SN, | TD, | TG | | | |
| PRIORITY | APP | LN. | INFO | . : | | | | | DI | Ξ 199 | 99-19 | 99290 | 012 | 19990 | 0625 | | |
| AB The | inve | enti | on c | once: | rns | the 1 | use d | of a | comb | oinat | cion | of a | at l | east | one | sel | ected |
| MTP | inh | ibit | or (| comp | onen | t A) | and | meta | aba | affe | cting | g act | cive | sub | stand | ces | |

AB (component B) for the fight against illnesses; medicaments contg. this combination and its prodn. are disclosed. An example of component A is (2S)-2-cyclopentyl-2-[4-(2,4-dimethylpyrido[2,3-b]indol-9-ylmethyl)phenyl]-N-(2-(1R)hydroxy-1-phenylethyl)acetamide. Component B may include antidiabetic agents, 'antioxidants, cytostatics, calcium antagonists, antihypertensives, thyroid agents, anticoagulants, etc.

$$G19$$
 $G19$ $G20$ $G19$ $G20$ $G23$ $G25$ $G19$ $G19$

$$G1 = 34$$

$$\begin{array}{c|c}
G2 \\
G2 \\
G2 \\
G2
\end{array}$$

$$\begin{array}{c}
G6 \\
G7 \\
G2
\end{array}$$

G2 = PhG6 = C(0)G7 = 0

MPL: claim 1

ANSWER 11 OF 35 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 133:217719 MARPAT

TITLE: 3-(Cyclohexanoheteroarylidenyl)-2-indolinone protein

tyrosine kinase inhibitors, and their therapeutic use

INVENTOR(S): Tang, Peng Cho; Sun, Li; McMahon, Gerald; Blake,

Robert A.

PATENT ASSIGNEE(S): Sugen, Inc., USA

SOURCE: U.S., 61 pp., Cont. -in-part of U.S. Ser. No. 99,842.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--------------------------------------|---------|----------------------|---------------------------------|----------------------|
| US 6114371 | A | 20000905 | US 1998-190970 | 19981112 |
| US 6130238
US 2002183370 | A
A1 | 20001010
20021205 | US 1998-99842
US 2001-29946 | 19980619
20011231 |
| US 6579897
PRIORITY APPLN. INFO.: | B2
: | 20030617 | US 1997-50977P | 19970620 |
| | | | US 1997-59384P
US 1998-99842 | 19970919
19980619 |
| | | | US 1997-50413P | 19970620 |
| | | | US 1997-59544P
US 1998-99721 | 19970919
19980619 |
| | | | US 2000-482198 | 20000112 |

OTHER SOURCE(S): CASREACT 133:217719

3-(Cyclohexano-heteroarylidenyl)-2-indolinone compds., and physiol. acceptable salts and prodrugs thereof, are disclosed which are expected to modulate the activity of protein tyrosine kinases and therefore to be useful in the prevention and treatment of protein tyrosine kinase-related cellular disorders (cancer, arthritis, restenosis, etc.).

MSTR 2

$$\begin{array}{c} G12 \\ G12 \\ G12 \\ G12 \\ G12 \end{array}$$

G2 = 0 = CO2H (SO)G7 G12 = 146

p-C6H4OMe

```
MPL:
          claim 18
REFERENCE COUNT:
                          38
                                THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS
                                RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
                       MARPAT COPYRIGHT 2004 ACS on STN
     ANSWER 12 OF 35
ACCESSION NUMBER:
                          131:209113 MARPAT
TITLE:
                          Antimycobacterial isatin and oxindole derivatives for
                          the treatment of mycobacterial diseases
INVENTOR(S):
                          Ramachandran, Janakiraman
PATENT ASSIGNEE(S):
                          Astra AB, Swed.
                          PCT Int. Appl., 26 pp.
SOURCE:
                          CODEN: PIXXD2
DOCUMENT TYPE:
                          Patent
LANGUAGE:
                          English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                       KIND
                                            APPLICATION NO.
                                                              DATE
     WO 9944608
                             19990910
                        Α1
                                            WO 1999-SE319
                                                              19990304
             AL, AM, AT, AU, AZ, AA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
             DK, EE, ES, FL, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,
             KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,
             MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
             TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
             CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     CA 2320757
                                            CA 1999-2320757
                       AA
                             19990910
                                                              19990304
     AU 9927573
                       Α1
                             19990920
                                            AU 1999-27573
                                                              19990304
     AU 735381
                       В2
                             20010705
     BR 9908510
                       A
                             20001121
                                            BR 1999-8510
                                                              19990304
     EP 1058548
                       Α1
                             20001213
                                            EP 1999-908059
                                                              19990304
     EP 1058548
                       В1
                             20030917
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO
     JP 2002505286
                       T2
                             20020219
                                            JP 2000-534210
                                                              19990304
     NZ 506217
                       Α
                             20020531
                                            NZ 1999-506217
                                                              19990304
     AT 249828
                       Ε
                             20031015
                                            AT 1999-908059
                                                              19990304
     NO 2000004419
                       Α
                             20001020
                                            NO 2000-4419
                                                              20000905
PRIORITY APPLN. INFO.:
                                            IN 1998-MA464
                                                              19980306
                                            SE 1998-1370
                                                              19980420
                                            WO 1999-SE319
                                                             19990304
AB
     The use of certain isatin and oxindole derivs. in the prepn. of a
     medicament for use in the treatment of mycobacterial diseases is
     disclosed. Thus, 1-nonyl-7-phenyl-1H-indol-2,3-dione was prepd. by the
     reaction of 1-bromononane with 7-phenyl-1H-indole-2,3-dione (I).
```

of I against Mycobacterium tuberculosis was .ltoreq.20 .mu.g/mL.

$$G1$$
 $G1$
 $G2$
 $G1$
 $G3$

G1 = Ph G2 = CH2

G4 = (3-7) CH2

DER: and pharmaceutically acceptable salts or solvates

MPL: claim 1

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 35 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

130:320831 MARPAT

TITLE:

Application of 3-substituted aryl oxidized indole

compounds

INVENTOR(S):

Yang, Chunzheng; Xie, Ping; Duan, Jianrong; Miao, Hua;

Song, Xianmei

PATENT ASSIGNEE(S):

Hematology Inst., Chinese Academy of Medical Sciences,

Peop. Rep. China

SOURCE:

Faming Zhuanli Shenqing Gongkai Shuomingshu, 21 pp.

CODEN: CNXXEV

DOCUMENT TYPE:

Patent

LANGUAGE:

Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------|------|----------|-----------------|----------|
| | | | | |
| CN 1115640 | A | 19960131 | CN 1994-107957 | 19940726 |
| CN 1051452 | В | 20000419 | | |
| PRIORITY APPLN. INFO. | : | | CN 1994-107957 | 19940726 |
| GI | | | | |

The title compds. used to prep. anti-tumor and/or anti-leukemia drug have a structure of (I) as follows: where, R1=H, halogen, alkyl, alkenyl, Ph, OH, alkoxy, or OC(O)R(R=alkyl), or C(O)R (R=H, CH3, Ar, NR'R''(R'R''=alkyl), or COOR (R=H, CH3, C1-3alkyl or M+), or NHR (R=H, alkyl or NO2); R2=H, CH3, Ar or NR'2 (R'=H or alkyl)). 3-Substituted aryl

oxidized indole compd. (R1,R2 is the same as compd. I) was reacted with triphenyl-2-nitrobenzylphosphonium bromide by Wittig's reaction, then reacted by Friedel-craft's reaction to give the title compds.

MSTR 2

$$\begin{array}{c|c} G1 & O \\ G1 & N & O \\ G1 & G2 & \end{array}$$

= Ph G2 = Me

MPL: claim 3

ANSWER 14 OF 35 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

129:267876 MARPAT

TITLE:

Negative charging electrophotographic toner containing benzoheterocyclic compound as charge-controlling agent

INVENTOR(S):

Murai, Takayuki; Tanioka, Miya; Yoshioka, Takashi

PATENT ASSIGNEE(S):

Shikoku Chemicals Corp., Japan Jpn. Kokai Tokkyo Koho, 5 pp.

SOURCE:

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------|------|----------|-----------------|----------|
| | | | | |
| JP 10239910 | A2 | 19980911 | JP 1997-57076 | 19970224 |
| PRIORITY APPLN. INFO. | : | | JP 1997-57076 | 19970224 |
| GI | | | | |

AB The toner contains benzoheterocyclic compd. I (R1-5 = H, alkyl, aryl, halo, nitro, cyano, OH, alkoxy, carboxyl, alkoxycarbonyl, acyloxy, amino), II (R1 = H, alkyl, aryl; R2-3 = H, alkyl, aryl, halo, alkoxy), or III (R1 = H, alkyl, aryl; R2-3 = H, alkyl, aryl, halo, nitro, cyano, alkoxy, carbamoyl, carboxyl, alkoxycarbonyl) as a charge-controlling agent. The compd. shows good charging-controlling ability and the toner gives clear white or pale-color images without toner scattering.

MSTR 3

G1 = Me G2 = Ph MPL: claims

L5 ANSWER 15 OF 35 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

129:76520 MARPAT

TITLE:

Vitronectin-receptor antagonists

INVENTOR(S):

Wehner, Volkmar; Stilz, Hans-ulrich; Peyman,

Anuschirwan; Scheunemann, Karlheinz; Ruxer,

Jean-Marie; Carniato, Denis; Lefrancois, Jean-Michel;

Gadek, Thomas Richard; McDowell, Robert Hoechst A.-G., Germany; Genentech Inc.

PATENT ASSIGNEE(S):

Ger. Offen., 52 pp.

SOURCE:

CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PA | TENT | NO. | | KI: | | DATE | | | AP | PLIC | CATI | ON N | Ο. | DATE | | | |
|----------|-------|--------------------|------|--------------|--------|------|------|-----|-----|------|---------------------------|------|-----|--------------|------|-----|-----|
| EP | 8541 | 3645
L45
L45 | | A
A | 1
2 | 1998 | 0722 | | | | | | | 1996
1997 | | | |
| | R: | | | | | DK, | | FR, | GB, | GR, | IT, | LI, | LU, | , NL, | SE, | MC, | PT, |
| ZA | 9711 | 315 | | Ā | · | 1998 | 0622 | | ZA | 199 | 97-1 | 1315 | | 1997 | 1217 | | |
| | | 386 | | | | | | | | | | 386 | | 1997 | | | |
| AU | 9748 | 3464 | | Α | 1 | 1998 | 0625 | | AU | 199 | 97-4 | 8464 | | 1997 | 1218 | | |
| | | 760 | | | | | | | | | | | | | | | |
| CA | 2225 | 267 | | \mathbf{A} | A | 1998 | 0620 | | CA | 199 | 97-2 | 2252 | 67 | 1997 | 1219 | | |
| NO | 9705 | 975 | | Α | | 1998 | 0622 | | NO | 199 | 97-5 | 975 | | 1997 | 1219 | | |
| | 1200 | | | | | 1998 | 1202 | | CN | 199 | 97-1 | 2978 | 9 | 1997 | 1219 | | |
| | | 32617 | | | | 1998 | 0707 | | JP | 199 | 9 7 - 3 | 6552 | 8 | 1997 | 1222 | | |
| | 5990 | | | Α | | 1999 | 1123 | | US | 199 | 97-9 | 9552 | 2 | 1997 | 1222 | | |
| US | 2001 | 0110 | 87 | A. | 1 | 2001 | 0802 | | US | 200 | 1-7 | 7875 | 5 | 20010 | 0208 | | |
| | 6482 | | | | | 2002 | 1119 | | | | | | | | | | |
| US | 2003 | 31197 | 85 | A. | 1 | 2003 | 0626 | | US | 200 |)2-2 | 9900 | 1 | 2002 | 1119 | | |
| PRIORIT' | Y APF | LN. | INFO | . : | | | | | DE | 199 | 96-1 | 9653 | 645 | 1996: | 1220 | | |
| | | | | | | | | | US | 199 | 7-9 | 9552 | 2 | 1997 | 1222 | | |
| | | | | | | | | | US | 199 | 99-4 | 1231 | 4 | 19993 | 1005 | | |
| | | | | | | | | | US | 200 | 1-7 | 7875 | 5 | 20010 | 0208 | | |

AB Compds. contg. a nitrogen heterocycle and a fibrinogen receptor antagonist are claimed for use as vitronectin receptor antagonists and to inhibit bone resorption (no data).

MSTR 1

G12 = 522-9 526-29

G14 = alkylene < (1-) > (SO)

G25 = phenylene

DER: and physiologically acceptable salts

MPL: claim 1

NTE: substitution is restricted

ANSWER 16 OF 35 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

128:128032 MARPAT

TITLE:

Preparation of heterocyclyl-substituted

phenoxyalkanoic acids as fibrinogen receptor

antagonists

INVENTOR(S):

Duggan, Mark E.; Egbertson, Melissa S.; Hartman,

George D.; Young, Steven D.; Ihle, Nathan C.

PATENT ASSIGNEE(S): SOURCE:

Merck & Co., Inc., USA PCT Int. Appl., 270 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| | PA | FENT | | | KI: | | DATE | | | A | PPLI | CATI | ON NO | Ο. | DATE | | | | |
|-------|----------------------|------|-----|-----|--------------|-----|------|------|-----|--------------|-------|-------|-------|------------------|-------|------|-----|-----|----|
| | WO | 9800 | | | | | 1998 | 0108 | | W(|) 19 | 97-U: | S111. | - <i>-</i>
33 | 1997 | 0625 | | | |
| | | | | | | | | | | | | | | | CZ, | | GE, | HU, | |
| | | | | | | | | | | | | | | | MG, | | | | |
| | | | NO, | NΖ, | PL, | RO, | RU, | SG, | SI, | SK, | SL, | ТJ, | TM, | TR, | TT, | UA, | US, | UZ, | |
| | | | | | | | ΑZ, | | | | | | | | | | | | |
| | | RW: | | | | | | | | | | | | | DK, | | | | |
| | GB, GR
GN, MI | | | | | | | | | PΤ, | SE, | BF, | ΒJ, | CF, | CG, | CI, | CM, | GA, | |
| | GN, MI | | | | MR, | ΝE, | SN, | TD, | TG | | | | | | | | | | |
| | CA 2258093 | | | | A | A | 1998 | 0108 | | CZ | A 19: | 97-22 | 2580 | 93 | 1997 | 0625 | | | |
| | ΑU | 9735 | 798 | | \mathbf{A} | 1 | 1998 | 0121 | | Αl | J 19: | 97-3 | 5798 | | 1997 | 0625 | | | |
| | ΑU | 7211 | 30 | | В | 2 | 2000 | 0622 | | | | | | | | | | | |
| | EΡ | 9121 | 75 | | A | 1 | 1999 | 0506 | | \mathbf{E} | P 199 | 97-93 | 3230 | 7 | 1997 | 0625 | | | |
| | | R: | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | ΙΤ, | LI, | LU, | NL, | SE, | PT, | IE, | FI |
| | JP 2000514061 | | | | T | 2 | 2000 | 1024 | | JI | 2 199 | 98-50 | 0429 | 1 | 1997 | 0625 | | | |
| PRIO: | IORITY APPLN. INFO.: | | | | | | | | | US | 5 199 | 96-20 | 09751 | P | 1996 | 0628 | | | |
| | | | | | | | | | | GI | 3 199 | 97-89 | 93 | | 1997 | 0117 | | | |
| | | | | | | | | | | W(| 199 | 97-US | 5111. | 33 | 19970 | 0625 | | | |
| GI | | | | | | | | | | | | | | | | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The title compds. X-Y-Z-A-B [I; X = (un) substituted 5-7- membered arom. or AΒ nonarom. ring, having 1-3 heteroatoms selected from N, O, and S, (un) substituted 9-10 membered fused arom. or nonarom. ring, having 1-3 heteroatoms selected from N, O, and S; Y = (un) substituted 5-6 membered arom. or nonarom. ring, having 0-3 heteroatoms selected from N, O, and S; XY = II, III, IV, V; Z = C(O)NR4, N(R4)C(O), CH2CH2, CH:CH, etc.; R4 = H, C1-4 alkyl, C3-6 cycloalkyl; A = (un)substituted 5-6 membered arom. ring, having 0-3 heteroatoms selected from N, O, and S, 9-10 membered fused arom. ring having 0-3 heteroatoms (N, O, and S); B = C(CH2)mCO2R9, (CH2) nCO2R9, CH(R8) (CH2) pCO2R9, OCH(R8) (CH2) pCO2R9 (wherein m = 1-3; n = 1-30-3; p = 0-3; R8 = H, aryl, amino, etc.; R9 = H, aryl, C1-8 alkyl, etc.)], useful in inhibiting the binding of fibrinogen to blood platelets, inhibiting the aggregation of blood platelets, treating thrombus or embolus formation, inhibiting osteoclast mediated bone resorption, inhibiting angiogenesis, and in inhibiting tumor growth, were prepd. and formulated. Thus, a few-step detailed synthesis of the acid VI which showed IC50 in the range between 10 nM and 50 mM against ADP-stimulated platelet aggregation, was described.

MSTR 1B

G9 = phenylene (SO)G18 = 307-19 311-5

DER:

and pharmaceutically acceptable salts

MPL:

claim 1

REFERENCE COUNT: .

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 17 OF 35 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

127:108938 MARPAT

TITLE:

Preparation of benzoheterocyclylmethylphenylacetamides

as antiatherosclerotics.

INVENTOR(S):

Connell, Richard; Goldmann, Siegfried; Mueller,

Ulrich; Lohmer, Stefan; Bischoff, Hilmar; Denzer,

Dirk; Gruetzmann, Rudi; Wohlfeil, Stefan

PATENT ASSIGNEE(S):

SOURCE:

Bayer A.-G., Germany Eur. Pat. Appl., 57 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

LANGUAGE:

Patent German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PAT | ENT NO. | KIND | DATE | APPLICATION NO. DATE |
|----------|----------|------------|-----------|---|
| | | | | |
| EΡ | 779279 | A1 | 19970618 | EP 1996-119321 19961203 |
| | | BE, CH, DE | , DK, ES, | FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, |
| | PT, | SE | | |
| DE | 19546918 | A1 | 19970619 | DE 1995-19546918 19951215 |
| US | 5811429 | A | 19980922 | US 1996-761921 19961209 |
| JP | 09183766 | A2 | 19970715 | JP 1996-352429 19961213 |
| US | 6025378 | A | 20000215 | US 1998-99557 19980618 |
| US | 6200971 | B1 | 20010313 | US 1999-420304 19991018 |
| PRIORITY | APPLN. I | NFO.: | | DE 1995-19546918 19951215 |
| | | | | US 1996-761921 19961209 |
| | | | | US 1998-99557 19980618 |
| | | | | |

GΙ

AB Title compds. [I; A = (substituted) benzimidazolyl, oxoquinazolinyl, oxophthalazinyl, etc.; R1 = alkyl, cycloalkyl, (substituted) Ph; R2 = H, alkyl; R3 = H, alkyl, cycloalkyl, (substituted) Ph, heterocyclyl; R4 = H, CH2OH, CH2O2CR11; R11 = H, alkyl, (substituted) Ph; D, E = H, halo, CF3, OH, CO2H, alkyl, alkoxy, alkoxycarbonyl; Z = O, S], were prepd. Thus, 2-cyclopentyl-2-[4-(2-methyl-4-oxo-4H-quinazolin-3-ylmethyl)phenyl]acetic acid (prepn. given) was stirred overnight with (R)-phenylglycinol, hydroxybenzotriazole, N'-(3-dimethylaminopropyl)-N-ethylcarbodiimide hydrochloride, and Et3N in CH2Cl2 to give 51% 2-cyclopentyl-N-(2-hydroxy-1-phenylethyl)-2-[4-(2-methyl-4-oxo-4H-quinazolin-3-ylmethyl)phenyl]acetic acid amide (II). II inhibited liberation of ApoB-100 assocd. lipoproteins with IC50 = 44.4 nM.

MSTR 1

G1 = 38

G2 = Ph G3 = C(O) G4 = O

DER: and salts MPL: claim 1

NTE: substitution is restricted

L5 ANSWER 18 OF 35 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

126:293359 MARPAT

TITLE:

Preparation of (S)-3-aralkylamino-2-hydroxypropoxybenzoazoles and analogs as

.beta.3-adrenoceptor agonists

INVENTOR(S):

Jesudason, Cynthia Darshini; Matthews, Donald Paul; Mcdonald, John Hampton; Neel, David Andrew; Rito, Christopher John; Shuker, Anthony John; Bell, Michael Gregory; Crowell, Thomas Alan; Droste, Christine Ann; Winter, Mark Alan

PATENT ASSIGNEE(S):

SOURCE:

Eli Lilly and Co., USA Eur. Pat. Appl., 62 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PA' | PATENT NO. | | | | | DATE | | | APPLICATION NO. | | | | | DATE | | | | |
|----------|----------------|-----|------|-----|-----|------|----------|-----|-----------------|-------|--------|-------|-----|-------|------|-----|-----|----|
| EP | 7646 | 40 | | A | 1 | | 0326 | | E | P 19 | 96-3 | 0685 | 1 | | | | PT. | SE |
| ZA | 96078 | 392 | | Ā | | 1998 | 0318 | • | Z | A 19 | 96-7 | 892 | | 1996 | 0918 | , | / | |
| IL | 13442 | 20 | | Α | 1 | 2001 | 0913 | | Т | T. 19 | 96 - 1 | 3442 | 0 | 1996 | 0919 | | | |
| CA | 2232 | 434 | | A. | A | 1997 | 0327 | | C | A 19 | 96-2 | 2324 | 34 | 1996 | 0920 | | | |
| WO | 97108 | 325 | | Α | A1 | | 19970327 | | W | 0 19 | 96-U | S151 | 35 | 1996 | 0920 | | | |
| | W: | AL, | AM, | ΑU, | AZ, | BB, | BG, | BR, | BY, | CA, | CN, | CU, | CZ, | EE, | GE, | HU, | IL, | |
| | | | | | | KP, | | | | | | | | | | | | |
| | | | | | | PL, | | | | | | | | | | TT, | UA, | |
| | | | | | | AM, | | | | | | | | | | | | |
| | RW: | | | | | SZ, | UG, | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | ML, | MR, | |
| | | | | TD, | | | | | | | | | | | | | | |
| AU | 9670 | /78 | | A | 1 | 1997 | 0409 | | A | J 19 | 96-7 | 0778 | | 1996 | 0920 | | | |
| AU | 71517 | /5 | | В: | 2 | 2000 | 0120 | | | | | | | | | | | |
| CN | 12023
96108 | 107 | | A | | 1998 | 1216 | | C. | 1 19 | 96-1 | 9823 | 6 | 1996 | 0920 | | | |
| BR | 96108 | 352 | | Α | | 1999 | 0713 | | В. | | | | | | | | | |
| | 11512 | | | | | 1999 | | | | | 96-5 | | - | 1996 | | | | |
| | 59394 | 143 | | A | | 1999 | 0817 | | U | | | | | 1997 | | | | |
| | 60604 | 192 | | A | | 2000 | 0509 | | Ų. | | | | | | | | | |
| | 59771 | 154 | | A | | 1999 | | | | | | | | 1997 | | | | |
| NO | 98012 | | | | | 1998 | | | | | | | | 1998 | | | | |
| | 60937 | | | | | 2000 | | | | | 99-3 | | | 19990 | | | | |
| | 62655 | | | | Ţ | 2001 | 0/24 | | U | | 00-5 | | | 20000 | | | | |
| PRIORITY | I APPI | -N | LNEO | . : | | | | | | | | | | 19950 | | | | |
| | | | | | | | | | | | | | | 19960 | | | | |
| | | | | | | | | | | | | | | 19960 | | | | |
| | | | | | | | | | | | 96-U | | | 19960 | | | | |
| | | | | | | | | | | | 97-85 | | | 19970 | | | | |
| CT | | | | | | | | | U: | 5 TA; | 9/-88 | 5293. | L | 19970 | 1626 | | | |

GΙ

(S)-R1Z1CH(OH)CH2NR3CR5R6Z2R4 [I; R1 = heterocyclo-fused Ph group, e.g., II;R3 = H, alkyl, aryl; R4 = R9-substituted Ph, -naphthyl, -cycloalkyl, etc.; R5,R6 = H or alkyl; R7R8 = (un)substituted NA3A4 or (un)substituted NA3:A4; A3,A4 = C or N (sic); R9 = halo, alkyl, alkoxy, aryloxy, etc.; Z1 = bond, OCH2, SCH2; Z2 = bond or alkylene] were prepd. Thus, 4-(H0)C6H4CH2OH was condensed with Me2CHNO2 and the reduced product etherified by 6-chloronicotinamide to give 6-[4-(2-amino-2-methylpropyl)phenoxy]nicotinamide which was condensed with (S)-4-glycidyloxyindole to give I [R1 = 4-indolyl, R3 = H, R4 = C6H4[OC6H4(CONH2)-4]-4, R5 = R6 = Me, Z1 = OCH2, Z2 = CH2]. Data for biol. activity of I were given.

 $G1 = 108-2 \ 105-99$

G7 = O G8 = 41 / CH2

N-----G10

G9 = Ph (SO)

G10 = Me

DER: or pharmaceutically acceptable salts

MPL: claim 1

NTE: substitution is restricted

L5 ANSWER 19 OF 35 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 125:142587 MARPAT

TITLE: Process for preparation of (alkenyl)benzazepinones

INVENTOR(S): Berger, Joel G.; Chang, Wei K.; Kozlowski, Joseph A.;

Zhou, Guowei

PATENT ASSIGNEE(S): Schering Corp., USA

SOURCE: U.S., 13 pp., Cont.-in-part of U.S. 5,241,065.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND DATE | | APPLICATION NO. | DATE | | | | |
|---------------------|---------------|---------|---------------------|-----------------|--|--|--|--|
| | | | | | | | | |
| US 5530125 | A 19960 | 625 | US 1994-290894 | 19940819 | | | | |
| US 5241065 | A 19930 | 831 | US 1992-841603 | 19920225 | | | | |
| WO 9316997 | A1 19930 | 902 | WO 1993-US1425 | 19930223 | | | | |
| W: AU, BB | , BG, BR, CA, | CZ, FI, | HU, JP, KR, LK, MG, | MN, MW, NO, NZ, | | | | |
| • | , RU, SD, SK, | • | | | | | | |
| RW: AT, BE | , CH, DE, DK, | ES, FR, | GB, GR, IE, IT, LU, | MC, NL, PT, SE, | | | | |
| BF, BJ | , CF, CG, CI, | CM, GA, | GN, ML, MR, SN, TD, | TG | | | | |
| PRIORITY APPLN. INF | 0.: | | US 1992-841603 | 19920225 | | | | |
| | | | WO 1993-US1425 | 19930223 | | | | |
| GI | | | | | | | | |

AB A process for the prepn. of .alpha.-substituted arylethylamines I (R, R1 = substituent; R4 = alkenyl, cycloalkenyl; p = 0-3) comprises the treatment of an arylacetamide with a strong base in an inert aprotic org. solvent, followed by reaction with a zerovalent transition metal catalyst and then with a compd. of the formula R X, (R4 = 1-alkenyl, 1-cycloalkenyl; X = leaving group). The .alpha.-substituted arylacetamides are useful as intermediates in the prepn. (by redn.) of .alpha.-substituted arylethylamines, e.g., 1-substituted-2,3,4,5-tetrahydro-1H-3-benzazepines, having pharmacol. activity. Certain benzazepines wherein the 1-substituent R4 = 1-(1-cycloalkenyl) are new. For example, the alkenylation of 7-chloro-1,3,4,5-tetrahydro-8-methoxy-3-methyl-2H-3-benzazepin-2-one with cyclohexenyl triflate in the presence of tetrakis(triphenylphosphine)palladium gave 7-chloro-1-(1-cyclohexen-1-yl)-1,3,4,5-tetrahydro-8-methoxy-3-methyl-2H-3-benzazepin-2-one (II).

MSTR 2

G2 = alkyl < (1-10) > (SO cycloalkyl < (3-8) >)

G10 = Ph (SO (1-) G3)

MPL: claim 5

L5 ANSWER 20 OF 35 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 125:33651 MARPAT

TITLE: Preparation of [(tetrahydropyridoindolyl)alkyl]benzazo

linone derivatives having serotonin 5-HT1D.alpha.

receptor activity

INVENTOR(S): Gilmore, Jeremy; Gallagher, Peter Thaddeus; Miles,

Martin Victor; Owton, William Martin; Smith, Colin

William

PATENT ASSIGNEE(S): Lilly Industries Ltd., UK

SOURCE: Can. Pat. Appl., 34 pp.

CODEN: CPXXEB

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------------------|------------|--------------|---|----------------------|
| CA 2157998
US 5563147 | AA
A | | CA 1995-2157998
US 1995-462237 | |
| | A 1 | 19960410 | EP 1995-306253 | |
| R: AT, BE, | CH, DE | , DK, ES, FF | R, GB, GR, IE, IT, LI
AT 1995-306253 | |
| AU 9530497 | | | AU 1995-30497 | |
| НО 72593
НО 219491 | A2 | | ни 1995-2631 | 19950908 |
| CZ 286565
FI 9504243 | В6 | 20000517 | CZ 1995-2322
FI 1995-4243 | 19950908
19950911 |
| NO 9503575 | A | 19960313 | NO 1995-3575 | 19950911 |
| ZA 9507607 | | 19960517 | | 19950911 |
| CN 1129219
CN 1045602 | В | 19991013 | | |
| IN 179550
IL 115236 | A1 | 19980615 | IN 1995-CA1079
IL 1995-115236 | 19950911
19950911 |
| RU 2146256
PRIORITY APPLN. INFO | | 20000310 | GB 1994-18326 | |
| GI | | | GB 1995-11166 | 19950602 |

$$(R^{1})_{m}$$

$$(R^{2}R^{3})_{n}Y$$

$$R^{4}$$

$$R^{7}p$$

$$R^{5}$$

$$R^{6}$$

$$R^{7}p$$

AB Pharmaceutical compds. of the formula [I; R1, R7 = halo, CF3, C1-6 alkyl,

C1-6 alkoxy, each optionally substituted Ph, naphthyl, or heteroaryl; R2, R3 = H or C1-6 alkyl; R4, R5 = H, halo, CF3, C1-6 alkyl, C1-6 alkoxy, eachoptionally substituted Ph, naphthyl, or heteroaryl; R6 = H, C1-6 alkyl, each optionally substituted Ph, naphthyl, heteroaryl, or phenyl-C1-6 alkyl, CO2R8 (where R8 is an ester group); m, p = 0-4; n = 1-4; Z = NR9, O, S, CR9R10; R9, R10 = H, C1-6 alkyl, optionally substituted phenyl-C1-6 alkyl; X = O, S; Y = Q, Q1 (where R11, R12 = H, C1-6 alkyl, CF3, each optionally substituted Ph, naphthyl, or heteroaryl)] and salts and solvates thereof, which are useful for the treatment of diseases of central nervous system such as obesity, bulimia, alcoholism, pain, depression, hypertension, aging, memory loss, sexual dysfunction, anxiety, schizophrenia, gastrointestinal disorders, headache, cardiovascular disorders, smoking cessation, drug addiction, and emesis, are prepd. Thus, 8.7 mmol 1-[2-(1,2,3,4-tetrahydro-9H-pyrido[3,4-b]] indol-2-yl)-1ethyl]-1,3-dihydrobenzimidazol-2-one was suspended in 50 mL Me iso-Bu ketone, treated with 9.58 mmol 1-(2-chloroethyl)-1,3-dihydro-2Hbenzimidazol-2-one, 10.45 mmol Na2CO3, and 10 mg Bu4NI, and the suspension was heated to 90.degree. for 2 days to give the title compd. (II). A total of 23 I were prepd. and showed binding affinity to 5-HT1D.alpha. receptor with Ki values 20-5,000 nM and also possessed binding activity at the 5-HT1D.beta. and 5-HT2A receptors.

MSTR 1

$$G7$$
 N— $G3$ — $G2$
 $G1$ $G1$ $G1$

$$G1 = Ph (SO)$$

 $G3 = (1-4) 51$

$$G7 = 65$$

G9 = 0

DER: and salts and solvates

MPL: claim 1

L5 ANSWER 21 OF 35 MARPAT COPYRIGHT 2004 ACS on STN ACCESSION NUMBER: 125:10614 MARPAT

TITLE:

Preparation of benzannelated five-membered

heterocyclecarboxamides as 5-HT receptor antagonists

INVENTOR(S):

Forbes, Ian Thomson; Jones, Graham Elgin; King, Francis David; Ham, Peter; Davies, David Thomas;

Moghe, Angela

PATENT ASSIGNEE(S):

Smithkline Beecham Plc, UK

SOURCE:

PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|------------------|------------|---------------|--------------------|------------------|
| | | - - | | | |
| | WO 9602537 | A1 | 19960201 | WO 1995-EP2637 | 19950706 |
| | W: JP, US | | | | |
| | RW: AT, BE, | CH, DE | , DK, ES, FR, | GB, GR, IE, IT, LU | , MC, NL, PT, SE |
| | EP 770076 | A1 | 19970502 | EP 1995-943540 | 19950706 |
| | R: BE, CH, | DE, FR | , GB, IT, LI, | NL | |
| | JP 10502653 | | | JP 1995-504647 | 19950706 |
| | US 5922733 | A | 19990713 | US 1997-765933 | 19970630 |
| PRIC | RITY APPLN. INFO | .: | | GB 1994-14139 | 19940713 |
| | | | | WO 1995-EP2637 | 19950706 |
| O T | | | | | |

GΙ

Title compds. [I; R3 = halo, NH2, OH, alkyl, etc.; Z1 = XYZCONR2Z2R1 or X:YZCONR2Z2R1 (Z = CH or N), XY:ZCONR2Z2R1 (Z = C); R1 = H, halo, alkyl, alkoxy, etc.; R2 = H or alkyl; X,Y = O, S, CO, CH, CH2, NH, etc; Z2 = phenylene, (iso)quinolinediyl, heterocyclylene; n = 0-3] were prepd. as 5-HT2B and 5-HT2C receptor antagonists. Thus, 4,3-Br(MeO)C6H3SH was etherified by BrCH2COCO2Et and the product cyclized to give, after sapon., 5-bromo-6-methoxybenzo[b]thiophene-3-carboxylic acid which was amidated by 3-aminopyridine to give title compd. II. Selected I had Ki.gtoreq.7.2 for binding to rat or human 5-HT2C clones expressed in 293 cell in vitro.

MSTR 1

G1----G4----C(O)-G6

G6 = 70

G7 = N

G8 = C(0) / CH2G13 = Ph (SO)

DER: and pharmaceutically acceptable salts

MPL: claim 1

NTE: substitution is restricted

NTE: additional ring formation specified

L5 ANSWER 22 OF 35 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 123:83356 MARPAT

TITLE: Preparation of 3-(1-piperazinyl)-1,2-benzisothiazole

derivatives with antipsychotic effect

INVENTOR(S): Fukuda, Yoshimasa; Sasaki, Toshiro; Nakatani, Yuuko;

Ichimaru, Yasuyuki; Imanishi, Taiichiro

PATENT ASSIGNEE(S): Meiji Seika K. K., Japan

SOURCE: PCT Int. Appl., 95 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | | | | ΚI | IND DATE | | | APPLICATION NO. | | | | | DATE | | | | |
|------------|--------------|-----|------|-------------|----------|--------------|---------------|-----------------|-----------|------|--------------|-------|------|----------------|------|-----|----|
| WO | | | | A1 19940818 | | | WO 1994-JP159 | | | | 19940203 | | | | | | |
| | RW: | AΤ, | | • | DE, | | | | • | | | | | MC, | | PT, | SE |
| EP | 6355
R: | | BE, | | | | 0125
ES, | | EF
GB, | | | | | 1994 | 0203 | | |
| Ŧ = · | 1103
1050 | | · | A
B | | 1995
2000 | | ŕ | CN | 1 19 | 94-1 | 9004. | 2 | 1994 | 0203 | | |
| US | 5599 | 815 | | Α | | 1997 | | | | | 94-3 | | - | 1994 | | | |
| PRIORIT | Y APP. | LN. | TNFO | . : | | | | | WC | 19 | 93-1
94-J | P1 | | 19930
19940 | 0104 | | |
| | | | | | | | | | WC |) 19 | 94-J: | P159 | | 19940 | 0203 | | |

GΙ

$$Q = \begin{array}{c} R1 & Q1 = R2 & R3 \\ \hline & X - Y & Q2 = \\ \hline & -N & R1 \\ \hline & O & O & O \\ \end{array}$$

AΒ Compds. represented by general formula [I; n = 2-4; W = heterocyclyl, e.g., Q - Q2; m = 0-2; A = CH2, CH, N, NH; B = CH2, CH, N, NH, S; provided that both A and B .noteq. N or NH; X = CH, N, S, bond; Y = CH, N; R1 = H, halo, lower (halo)alkyl, (un)substituted Ph, OH, NO2, lower alkoxy, NH2, cyano; R2, R3 = H, halo, lower (halo)alkyl or alkoxy, NH2, cyano, provided that when X = bond, R2 is not present; or R2R3 = (CH2)p (wherein p = 3-5) and pharmacol. acceptable salts thereof, reduced in the adverse effect against the extrapyramidal system and hence useful as an antipsychotic agent with few side effects, are prepd. Thus, 0.29 g 2-hydroxyquinoline was dissolved in DMF and treated with 80 mg NaH at 60.degree. for 30 min with stirring followed by cooling the reaction mixt. to room temp. and adding 2.16 g 1,4-dibromobutane and the resulting mixt. was stirred at 60.degree. for 4 h to give 64% 1-(4-bromobuty1)-2(1H)-quinolinone (II).II 0.56, 3-(1-piperazinyl)-1,2-benzisothiazole 0.44, and K2CO3 0.33 g were suspended in DMF and stirred at room temp. for 12 h to give 80% title compd. I $(n = 4, W = 2-\infty-1, 2-dihydro-1-quinolinyl)$. II $(n = 4, W = 2-\infty-1, 2-dihydro-1-quinolinyl)$ 9-carbazolyl) and II (n = 3, W = 2-oxo-1, 2-dihydro-1-quinolinyl) showed ED50 of 1.15 and 0.92 mg/kg i.p., resp., for inhibiting methamphetamine-induced spontaneous movement of mice (vs. 0.16 and 1.05 mg/kg i.p. for haloperidol and chlorpromazine, resp.) and induced catalepsy in mice at ED50 of >100 and 83.3 mg/kg i.p. in mice (vs. 1.3 and 6.2 mg/kg i.p. for haloperidol and chlorpromazine, resp.).

$$G1 = (2-4) CH2$$

 $G2 = 77$

G17 = Ph (SO (1-) G5)G18 = 184

G12 184 G12

DER: and pharmacologically acceptable salts

MPL: claim 1

L5 ANSWER 23 OF 35 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 122:239719 MARPAT

TITLE: 1-substituted isatin and oxindole derivatives as

inhibitors of acetylcholinesterase

INVENTOR(S): Boar, Bernard Robin; Oshea, Dennis Mark; Tomlinson,

Ian David

PATENT ASSIGNEE(S): Astra AB, Swed.

SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| | PATENT NO. | | | | KI | IND DATE | | | | APPLICATION NO. DATE | | | | | | | | | |
|------|------------|------|------|------|-----|----------|------|------|-----|----------------------|------|---------------|-------|-----|------|------|-----|-----|----|
| | WO | 9429 | 272 | | A | 1 | 1994 | 1222 | | W | 0 19 | 94 - S | E448 | | 1994 | 0513 | | | |
| | | W: | ΑT, | ΑU, | BB, | BG, | BR, | BY, | CA, | CH, | CN, | CZ, | DE, | DK, | ES, | FI, | GB, | GE, | |
| | | | HU, | JP, | KG, | KΡ, | KR, | ΚZ, | LK, | LU, | LV, | MD, | MG, | MN, | MW, | NL, | NO, | NZ, | |
| | | | PL, | PT, | RO, | RU, | SD, | SE, | SI, | SK, | ТJ, | TT, | ŲA, | US, | UZ, | VN | | | |
| | | RW: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | ΙE, | IT, | LU, | MC, | NL, | PT, | SE, | |
| | | | BF, | ВJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | ML, | MR, | NE, | SN, | TD, | TG | | | |
| | CA | 2164 | 119 | | A | A | 1994 | 1222 | | C. | A 19 | 94-2 | 1641 | 19 | 1994 | 0513 | | | |
| | ΑU | 9470 | 108 | | Α | 1 | 1995 | 0103 | | A | U 19 | 94-7 | 0108 | | 1994 | 0513 | | | |
| | EΡ | 7039 | 01 | | A | 1 | 1996 | 0403 | | Ε | P 19 | 94-9 | 1903: | 2 | 1994 | 0513 | | | |
| | | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | ΙE, | ΙΤ, | LI, | LU, | MC, | ΝL, | PT, | SE |
| | JP | 0851 | 1515 | | T | 2 | 1996 | 1203 | | J | P 19 | 94-5 | 0164 | 2 | 1994 | 0513 | | | |
| | NO | 9505 | | | | | 1996 | | | | O 19 | 95 - 5 | 074 | | 1995 | 1214 | | | |
| | FI | 9506 | 074 | | А | | 1995 | 1218 | | F | I 19 | 95-6 | 074 | | 1995 | 1218 | | | |
| PRIO | RIT | APP. | LN. | INFO | . : | | | | | S | E 19 | 93-2 | 080 | | 1993 | 0616 | | | |
| | | | | | | | | | | M | O 19 | 94 - S | E448 | | 1994 | 0513 | | | |
| GΙ | | | | | | | | | | | | | | | | | | | |

GΙ

The title compds. [I; W = hydrogen, lower alkyl, lower alkoxy, halogen; X AΒ = hydrogen, lower alkyl, aryl, lower alkoxy, halogen, trifluoromethyl, nitro, NHCOR, (un) substituted NH2; R = lower alkyl, aryl; Y = CO, (un) substituted CH2; Z = lower alkyl; n = 3-7 [e.g., 5'-(1-piperidinyl)spiro-[1,3-dioxolane-2,3'-[3H]-indol]-2'(1'H)-one], useful as acetylcholinesterase inhibitors (no data) for the treatment of cognitive dysfunction (no data), Alzheimer's disease (no data), Down's syndrome (no data), Parkinson's disease (no data), glaucoma (no data), etc. (no data), are prepd. and I-contq. formulations presented.

MSTR 1

G1 = Ph (SO (1-) G2) = 18G4

= (3-7) CH2 G6

and pharmaceutically acceptable salts and solvates DER:

MPL: claim 1

STE: and stereo and optical isomers and racemates

ANSWER 24 OF 35 MARPAT COPYRIGHT 2004 ACS on STN 1.5

122:81401 MARPAT ACCESSION NUMBER:

Preparation of piperazinylisoxazole derivatives as TITLE:

antipsychotics with low extrapyramidal side effects Fukuda, Yoshimasa; Yamazaki, Naoki; Sasaki, Toshiro;

INVENTOR(S): Imanishi, Taiichiro; Hiranuma, Toyoichi

Meiji Seika Co, Japan PATENT ASSIGNEE(S):

SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------------------|------|--------------|--------------------------------|----------------------|
| | | - | | |
| JP 06234753 PRIORITY APPLN. INFO. | A2: | 19940823 | JP 1993-22910
JP 1993-22910 | 19930210
19930210 |
| GI | - | | 01 1990 22910 | 19990210 |

$$R^{1}$$
 R^{2}
 Q^{1}
 Q^{1}
 Q^{2}
 Q^{2

AB The title compds. I [R1 - R3 = H, halo, Q1, etc.; n = 0 - 5; one of R1 - R3 is Q1; R4 = Q2, etc.; m = 0 - 5] are prepd. Piperazinylisoxazole deriv. cis-II (prepn. given) showed ED50 of 1.2 mg/Kg i. p. against methamphetamine-induced hyperactivity in mice, vs. ED50 of 1.1 mg/Kg i.p. for chlorpromazine (III). In a test for catalepsy-inducing effect in mice, cis-II showed ED50 of >100 mg/Kg i.p., vs. ED50 of 6.2 mg/Kg i.p. for III.

MSTR 1

$$G3 = (0-5) CH2$$

 $G4 = 103$

G9 = Ph

DER: or pharmacologically acceptable salts

MPL: claim 1

L5 ANSWER 25 OF 35 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

121:133948 MARPAT

TITLE:

Process for the preparation of methylated or

hydroxyethylated 5-membered heterocycles

INVENTOR(S):

Fischer, Rolf; Pinkos, Rolf

PATENT ASSIGNEE(S):

BASF A.-G., Germany Eur. Pat. Appl., 10 pp.

SOURCE:

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------------|--------|--------------|-----------------|----------|
| | | | | |
| EP 602515 | A1 | 19940622 | EP 1993-119734 | 19931208 |
| EP 602515 | В1 | 19980715 | | |
| R: BE, CH, | DE, FR | , GB, LI, NL | | |
| DE 4242451 | A1 | 19940623 | DE 1992-4242451 | 19921216 |
| US 5453516 | A | 19950926 | US 1993-165463 | 19931213 |
| PRIORITY APPLN. INFO | .: | | DE 1992-4242451 | 19921216 |
| GI | | | | |

The title compds. (I; R1 = Me, hydroxyethyl; R2-R6 = H, C1-12 alkyl, C2-12alkenyl, aryl, halogen, etc.; X = 0, NR4) are readily prepd. by reacting heterocycle II (Y = H, acetyl, C2-20 alkoxycarbonyl) with di-Me carbonate or ethylene carbonate in the presence of a N-contg. base at 50-300.degree./0.01-50 bar. Thus, 4-methylbutyrolactone, di-Me carbonate, and NMe3 where reacted at 200.degree. in an autoclave for 5 h, producing 2,4-dimethylbutyrolactone (b.p. 70-74.degree./10 mbar) in 74% yield.

MSTR 1B

$$G2$$
 $G2$
 $G3$
 $G4$
 $G5$
 $G5$
 $G6$
 $G6$

MPL:

claim 1

ANSWER 26 OF 35 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

119:225964 MARPAT

TITLE:

Isatin derivative cholinesterase inhibitors and

processes for their preparation

INVENTOR(S):

Boar, Bernard Robin; Cross, Alan John

PATENT ASSIGNEE(S): SOURCE:

Aktiebolaget Astra, Swed. PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| | | | | | | ND | | | | | | | | | DATE | | | | |
|------|-----|-------|-----|------|-----|-----|------|------|-----|------------------|------|------|----------|-----|------|----------|-----|-----|----|
| | | | 085 | | | 1 | | | | | | |
E873 | | 1992 |
1216 | | | |
| | | W: | AT, | ΑU, | BB, | BG, | BR, | CA, | CH, | CS, | DE, | DK, | ES, | FI, | GB, | HU, | JP, | KP, | |
| | | | KR, | LK, | LU, | MG, | MN, | MW, | NL, | NO, | NZ, | PL, | RO, | RU, | SD, | SE, | UA | | |
| | | RW: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | ΙE, | ΙT, | LU, | MC, | NL, | PT, | SE, | |
| | | | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | ML, | MR, | SN, | TD, | TG | | | | |
| | ZA | 9209 | 700 | | Α | | 1993 | 0810 | | \mathbf{z}_{i} | A 19 | 92-9 | 700 | | 1992 | 1214 | | | |
| | ΑŲ | 9331 | 759 | | A | 1 | 1993 | 0719 | | Αl | J 19 | 93-3 | 1759 | | 1992 | 1216 | | | |
| | ΑU | 6750 | 55 | | B: | 2 | 1997 | 0123 | | • | | | | | | | | | |
| | EΡ | 6241 | 56 | | A. | 1 | 1994 | 1117 | | \mathbf{E} | 2 19 | 93-9 | 0049 | 0 | 1992 | 1216 | • | | |
| | | | | | | | | | | | | | | | LU, | | NL, | PT, | SE |
| | | | | | | | | | | | | | | | 1992 | | | | |
| | HU | 6970 | 4 | | A: | 2 | 1995 | 0928 | | H | J 19 | 94-1 | 844 | | 1992 | 1216 | | | |
| | SK | 2783 | 21 | | В | 6 | 1996 | 1002 | | SI | K 19 | 94-7 | 34 | | 1992 | 1216 | | | |
| | PL | 1707 | 36 | | В. | l | 1997 | 0131 | | P. | L 19 | 92-3 | 0412 | 4 | 1992 | 1216 | | | |
| | CN | 1079 | 464 | | A | | 1993 | 1215 | | CI | 1 19 | 92-1 | 1535 | 8 | 1992 | 1218 | | | |
| | | | 939 | | В | | 1997 | 0521 | | | | | | | | | | | |
| | NO | 9402 | 316 | | Α | | 1994 | 0617 | | NO |) 19 | 94-2 | 316 | | 1994 | 0617 | | | |
| | FI | 9402 | 913 | | Α | | 1994 | 0817 | | F. | I 19 | 94-2 | 913 | | 1994 | 0617 | | | |
| | US | 5585 | 378 | | Α | | 1996 | 1217 | | US | 5 19 | 95-4 | 6769. | 5 | 1995 | 0606 | | | |
| PRIO | RIT | Y APP | LN. | INFO | .: | | | | | SI | E 19 | 91-3 | 752 | | 1991 | 1218 | | | |
| | | | | | | | | | | W |) 19 | 92-S | E873 | | 1992 | 1216 | | | |
| | | | | | | | | | | US | 5 19 | 92-9 | 9240 | 7 | 1992 | 1217 | | | |
| | | | | | | | | | | US | 5 19 | 95-4 | 1772 | 4 | 1995 | 0406 | | | |
| O.T. | | | | | | | | | | | | | | | | | | | |

$$X \xrightarrow{Y} O$$

$$CH_2 (CH_2)_n - Z \xrightarrow{(CH_2)_p} N - (CH_2)_q^G$$

$$I$$

$$CH_2 (CH_2)_p$$

$$X \xrightarrow{Y} O$$

$$X \xrightarrow{Y} O$$

AΒ The title compds. I [G = (un)substituted Ph, (un)substituted cyclohexyl; X

III

= H, alkyl, aryl, aryloxy, CN, alkoxy, halogen, hydroxy, NO2, CF3, alkylsulfonamido, etc.; Y = CO, R4CR3; R3, R4 = H, alkyl, alkoxy; Z = N, CH; n = 1-3; q = 1, 2; R3R4 = cyclic acetal], useful as cholinesterase inhibitors in the treatment of cognitive dysfunction, are prepd. by the condensation haloalkyl-substituted heterocyclic deriv. II (E = halogen) with indole deriv. III or by the corresponding condensation of haloalkyl-substituted indole derivs. with phenylalkyl-substituted piperazine derivs. Thus, 5-methyl-1H-indole-2,3-dione was condensed with 1-(2-chloroethyl)-4-(phenylmethyl)piperazine, and the condensate treated with ethanolic HCl, producing 5-methyl-1-[2-[4-(phenylmethyl)-1-piperazinyl]ethyl]-1H-indole-2,3-dione dihydrochloride (m.p. 270-275.degree., decompn.).

MSTR 1

$$G3 = Ph (SO (1-) G4)$$

 $G7 = 28$

DER: and pharmaceutically acceptable acid addition salts and solvates

MPL: claim 1

NTE: substitution is restricted STE: and isomers and racemates

L5 ANSWER 27 OF 35 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 118:11497 MARPAT

TITLE: Hair dye comprising isatin or derivatives thereof

associated with a tri-, tetra- or pentasubstituted

aniline or a bisphenylalkylenediamine

INVENTOR(S): Lang, Gerard; Cotteret, Jean

PATENT ASSIGNEE(S): Oreal S. A., Fr.

SOURCE: Eur. Pat. Appl., 15 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

| PATENT NO. | KIND I | DATE | APPLICATION NO. | DATE |
|------------|---------|-------------|---------------------|----------|
| | | | | |
| EP 502784 | A1 : | 19920909 | EP 1992-400558 | 19920304 |
| EP 502784 | В1 | 19950621 | | |
| R: AT, BE, | CH, DE, | DK, ES, FR, | GB, GR, IT, LI, NL, | PT, SE |

| FR | 2673533 | A1 | 19920911 | FR | 1991-2615 | 19910305 |
|----------|---------------|----|----------|----|--------------|----------|
| FR | 2673533 | В1 | 19930611 | | | |
| CA | 2062280 | AA | 19920906 | CA | 1992-2062280 | 19920304 |
| US | 5261926 | A | 19931116 | US | 1992-845586 | 19920304 |
| ES | 2073876 | Т3 | 19950816 | ES | 1992-400558 | 19920304 |
| JP | 04360818 | A2 | 19921214 | JΡ | 1992-48491 | 19920305 |
| JP | 3330625 | B2 | 20020930 | | | |
| PRIORITY | APPLN. INFO.: | | | FR | 1991-2615 | 19910305 |
| GI | | | | | | |

AB Hair dyes comprise isatin or isatin derivs. (Markush given) and a bisphenylalkylenediamine or an aniline deriv. I [Y = OH, (un)substituted NH2; R - R3 = H, alkyl, Cl, acetylamino, alkoxy, aryloxy]. A compn. (pH 8; triethanolamine) comprised isatin 1, 2,6-dimethyl-1,4-diaminobenzene 1, EtOH 30 and water to 100 g.

MSTR 1

G1 = COMe

G2 = Ph (SO alkyl < (1-6) >)

MPL: claim 1

L5 ANSWER 28 OF 35 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 117:257972 MARPAT

TITLE: Hair dye comprising isatin or derivatives thereof

associated with an aminopyridine derivative

INVENTOR(S):
Lang, Gerard; Cotteret, Jean

PATENT ASSIGNEE(S): Oreal S. A., Fr.

SOURCE: Eur. Pat. Appl., 14 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| | | | | |
| EP 502783 | A1 | 19920909 | EP 1992-400557 | 19920304 |

| EP | 502783 | В1 | 19950503 | | |
|-------------|-----------|------------|-------------|--------------------|----------|
| | R: AT, B | E, CH, DE, | DK, ES, FR, | GB, GR, IT, LI, NL | , PT, SE |
| FR | 2673532 | A1 | 19920911 | FR 1991-2614 | 19910305 |
| FR | 2673532 | В1 | 19930611 | | |
| US | 5279616 | A | 19940118 | US 1992-845587 | 19920304 |
| AT | 121930 | E | 19950515 | AT 1992-400557 | 19920304 |
| ES | 2072108 | Т3 | 19950701 | ES 1992-400557 | 19920304 |
| CA | 2062359 | AA | 19920906 | CA 1992-2062359 | 19920305 |
| JP | 04368318 | A2 | 19921221 | JP 1992-48492 | 19920305 |
| JP | 3330626 | B2 | 20020930 | | |
| US | 5340366 | A | 19940823 | US 1993-136125 | 19931015 |
| PRIORITY | APPLN. IN | FO.: | | FR 1991-2614 | 19910305 |
| | | | | US 1992-845587 | 19920304 |
| 0. T | | | * | | |

GI

NH2
$$R1 \longrightarrow R3$$
 $R4$ $N \longrightarrow R4$ $N \longrightarrow R4$ $N \longrightarrow R4$ $N \longrightarrow R4$

AΒ Isatin or an isatin deriv. (Markush given), assocd. with a dimethylpyridine deriv. I (R = H, 2-HOCH2CH2; m = 0, 1; n = m, 2) or a pyrimidine deriv. II [R1 = (un)substituted NH2; R2 = H, OH, R1; R3 = H, NH2; R4 = OH, R1] is a hair dye. A compn. (pH 7.6; triethanolamine) comprised isatin 1, tetraaminopyrimidine 1, EtOH 30, and water to 100 g.

MSTR 1

G1 = COMe

= Ph (SO alkyl<(1-6)>) G2

MPL: claim 1

ANSWER 29 OF 35 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 117:233851 MARPAT

TITLE: Preparation of hydrazonoindolones as excitatory amino

acid antagonists

INVENTOR(S): Dahl, Bjarne Hugo; Waetjen, Frank

Neurosearch A/S, Den. PATENT ASSIGNEE(S): Eur. Pat. Appl., 13 pp.

SOURCE:

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO.

| | EP | 503349 | | A1 | 19920916 | | EΡ | 1992-103104 | 19920224 | | |
|-------|------|----------|------|-------|------------|-----|-------|----------------|-----------|-----|----|
| | ΕP | 503349 | | В1 | 19950104 | | | | | | |
| | | R: AT, | BE, | CH, D | E, DK, ES, | FR, | GB, G | GR, IT, LI, LU | , MC, NL, | PT, | SE |
| | US | 5164404 | | A | 19921117 | | US | 1991-670061 | 19910315 | | |
| | ZA | 9201328 | | A | 19921125 | | ZA | 1992-1328 | 19920224 | | |
| | ES | 2069330 | | Т3 | 19950501 | | ES | 1992-103104 | 19920224 | | |
| | ΑU | 9211225 | | A1 | 19920917 | | AU | 1992-11225 | 19920226 | | |
| | ΑŲ | 643877 | | В2 | 19931125 | | | | | | |
| | CA | 2062853 | | AA | 19920916 | | CA | 1992-2062853 | 19920312 | | |
| | NO | 9201000 | | A | 19920916 | | NO | 1992-1000 | 19920313 | | |
| | NO | 180191 | | В | 19961125 | | | | | | |
| | NO | 180191 | | С | 19970305 | | | | | | |
| | JΡ | 05078350 | | A2 | 19930330 | | JΡ | 1992-55531 | 19920313 | | |
| | JР | 3407896 | | В2 | 20030519 | | | | | | |
| PRIOF | RITY | APPLN. I | NFO. | : | | | US | 1991-670061 | 19910315 | | |
| GI | | | | | | | | | | | |

AB Title compds. I [n = 0, 1; R1 = H, C1-6 alkyl, C3-7 cycloalkyl, CH2Ph, (substituted) Ph, acyl, OH, C1-6 alkoxy, CH2CO2H, CH2CN, etc.; R2 = (substituted) Ph, -pyridyl; R4 - R7 = H, C1-36 alkyl, Ph, halo, C1-6 alkoxy, NO2, cyano, CF3, SO2NR11R12; R11, R12 = H, CH2Ph, C1-6 alkyl; or R6R7 or R4R5 = atoms to complete a 4-8 membered (substituted) carbocyclic ring] were prepd. for the treatment of disorders responsive to the blockade of glutamic or aspartic receptors. Thus, 5-nitro-1H-6,7,8,9-trahydrobenz[g]indole-2,3-dione (prepn. given) and 2-nitrophenylhydrazone were stirred in MeOH contg. HCl to give 5-nitro-1H-6,7,8,9-tetrahydrobenz[g]indole-2,3-dione-3-(2-nitrophenylhydrazone) as a mixt. of E- and Z-isomers. I are said to exhibit binding at 3H-kainate, NMDA, 3H-AMPA and/or 3H-glycine binding sites with IC50's of 1-100 .mu.M.

MSTR 2A

G2 = CH2Ph (SO) G8 = Ph MPL: claim 10

ANSWER 30 OF 35 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

117:178123 MARPAT

TITLE: hair dye preparation containing isatine and an

aminoindole or an aminoindoline derivative.

INVENTOR(S): Lang, Gerard; Cotteret, Jean

PATENT ASSIGNEE(S):

Oreal S. A., Fr.

SOURCE: Eur. Pat. Appl., 15 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| | PAT | CENT N | 10. | | KIND | DATE | | | AP | PLICA | OITA | NO. | . D | ATE | | |
|-------|------|--------|-------|--------|------------|--------|------|-----|--------|-------|------|----------|-------|------|-----|--------|
| | EP | 49769 | 7 | | A1 | 19920 | 805 | | EP | 1992 | 2-40 |
0237 |
1 | 9920 | 130 | |
| | ΕP | 49769 | 7 | | В1 | | 206 | | | | | | | | | |
| | | R: | ΑT, | BE, | CH, D | E, DK, | ES, | FR, | GB, G | GR, I | T, : | LI, N | IJΙ, | PT, | SE | |
| | FR | 26722 | 210 | | A 1 | 19920 | 807 | | FR | 1991 | -11 | 86 | 1 | 9910 | 201 | |
| | FR | 26722 | 210 | | B1 | |)521 | | | | | | | | | |
| | US | 51905 | 64 | | Α | 19930 | 302 | | US | 1992 | 2-82 | 8299 | 1 | 9920 | 130 | |
| | AT | 13103 | 35 | | E | | 215 | | AT | 1992 | 2-40 | 0237 | 1 | 9920 | 130 | |
| | ES | 20894 | 31 | | Т3 | 19961 | .001 | | ES | 1992 | 2-40 | 0237 | 1 | 9920 | 130 | |
| | CA | 20604 | 88 | | AA | 19920 | 802 | | CA | 1992 | 2-20 | 60488 | 3 1 | 9920 | 131 | |
| | JΡ | 04338 | 321 | | A2 | 19921 | 125 | | JP | 1992 | 2-16 | 805 | 1 | 9920 | 131 | |
| PRIOR | RITY | APPI | Ν. | INFO. | : | | | | FR | 1991 | -11 | 86 | 1 | 9910 | 201 | |
| AB | A h | nair d | lye d | compn | . con | tained | isat | ine | 1, 6 | -amir | noin | dole | 1, | EtOE | 30 | (pH 8. |
| | and | · wata | ·~ 1(| 00 hv | t.r+- | The co | mrm | 727 | 70 2 / | conne | r c | alar | t o | tho | ang | aray h |

.1), and water 100 by wt. The compn. gave a copper color to the 90% gray hair.

MSTR 1

$$G2$$
 $G2$
 $G2$
 $G2$
 $G3$
 $G4$
 $G5$

= COMe G1

= Ph (SO alkyl<(1-6)>) G2

DER: and cosmetically acceptable salts

MPL: claim 1

ANSWER 31 OF 35 MARPAT COPYRIGHT 2004 ACS on STN

116:255341 MARPAT ACCESSION NUMBER:

TITLE: Preparation of N-substituted tetrahydronaphthyl-Nhydroxyureas and analogs as 5-lipoxygenase inhibitors

Adams, Jerry Leroy; Garigipati, Ravi Shanker; INVENTOR(S):

Griswold, Don Edgar; Schmidt, Stanley James

PATENT ASSIGNEE(S): SmithKline Beecham Corp., USA

SOURCE: PCT Int. Appl., 92 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

| PA' | PATENT NO. | | | | ND DA | TE | _ | AE | PLIC | CATION | NO. | DATE |
|---------|--------------|------|------|-----|--------------|--------|-----|-----|------|-----------------|-------|----------|
| | 9114
9114 | | | | 2 19
3 19 | | | WC |) 19 | 91-US2 | 010 | 19910325 |
| | W: | ΑU, | CA, | JP, | KR, U | S | | | | | | |
| | RW: | ΑT, | BΕ, | CH, | DE, D | K, ES, | FR, | GB, | GR, | IT, L | U, NL | , SE |
| CA | 2078 | 126 | | A | A 19 | 910928 | } | CP | 199 | 91-207 | 8126 | 19910325 |
| AU | 9175 | 875 | | A. | 1 19 | 911021 | _ | ΑU | J 19 | 91-758 | 75 | 19910325 |
| AU | 6602 | 77 | | B | 2 19 | 950622 | 2 | | | | | |
| EP | 5220 | 00 | | A. | 1 19 | 930113 | 3 | EF | 19 | 91-907 | 085 | 19910325 |
| | R: | AT, | BE, | CH, | DE, D | K, ES, | FR, | GB, | GR, | IT, L | I, LU | , NL, SE |
| JP | 0550 | 5610 | | T | 2 19 | 930819 |) | JE | 199 | 91-506 | 661 | 19910325 |
| ZA | 9102 | 264 | | Α | 19 | 920429 |) | ZP | 199 | 91-226 | 4 | 19910326 |
| PRIORIT | Y APP | LN. | INFO | . : | | | | US | 199 | 90-500 | 153 | 19900327 |
| | | | | | | | | US | 199 | 90-500 | 179 | 19900327 |
| | | | | | | | | WC | 199 | 91 - US2 | 010 | 19910325 |

GΙ

$$(R^2)_q$$
 $(R^3)_1$
 $(R^3)_1$

AB Title compds. I (R1 = H, C1-10 alkyl, C1-10 alkoxy, etc.; R2, R3 = R4C:BN(ORa), R4 = (halo) (hydroxy) C1-6 alkyl, C2-6 alkenyl, (halo)heteroaryl, C1-6 alkoxy, R5R6N wherein R5 = H, alkyl, R6 = C1-6 alkyl, aryl, PhCH2, etc.; B = O, S, Ra = H, cation, aroyl, C1-12 alkoyl; W = CH2(CH2)s, O(CH2)s, S(CH2)s, NR7(CH2)s, s = 0-3, R7 = H, C1-4 alkyl, Ph, C1-6 alkoyl, aroyl; l = q = 0, l) or a salt thereof, are prepd. I are also analgesics. To 6-hydroxy-1-tetralone was added NaH, followed by 4-(MeO)C6H4CH2Cl and the mixt. was heated to 90.degree. for 1 h to give the tetralone derivs. To this in pyridine was added HONH2.HCl to give the oxime, which was treated with BH3-pyridine and converted to the N-hydroxyamine deriv. to which was added Me3SiNCO to give after work up the title compd. II. II inhibited 5-lipoxygenase with IC50 of 0.5 .mu.M and an analgesic activity ED50 of 10 mg/kg.

MSTR 3H

$$G1 = 0$$

 $G12 = 23$

G14 = CHO G15 = Ph (SO)MPL: claim 30

ANSWER 32 OF 35 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:

115:183089 MARPAT

TITLE:

Preparation of isatin derivatives as central nervous

system (CNS) agents

INVENTOR(S):

Watjen, Frank; Drejer, Jorgen; Jensen, Leif Helth

PATENT ASSIGNEE(S):

Neurosearch A/S, Den.

SOURCE:

Eur. Pat. Appl., 14 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PA' | TENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------------------------------|--|--|--|--|--|
| EP | 432648 | A2 | 19910925 | EP 1990-123474 | 19901206 |
| ZA JP JP FI ES CA NO NO NO AU AU US | R: AT,
9009479
03204856
3057095
9005943
2077623
2031756
2031756
9005320
174464
174464
9067920
629075 | BE, CH, DA A A2 B2 A T3 AA C A B C A1 B2 A | E, DK, ES,
19910925
19910906
20000626
19910612
19951201
19910612
20020611
19910612
19940131
19940511
19910613
19920924 | GB, GR, IT, LI, LI ZA 1990-9479 JP 1990-330898 FI 1990-5943 ES 1990-123474 CA 1990-2031756 NO 1990-5320 AU 1990-67920 US 1991-710790 DK 1989-6248 DK 1989-6470 DK 1990-85 DK 1990-86 | 19901126
19901130
19901203
19901207
19901210
19901210
19910605
19891211
19891219
19900112 |
| GT | | | | DK 1990-363
DK 1990-2093
US 1990-624409 | 19900212
19900831 |

GΙ

AΒ Isatin derivs. [I; R1 = H, linear or branched C1-6 alkyl, C3-7 cycloalkyl,

(substituted) Ph, PhCH2, OH, acyl, etc.; R2 = H, PhCH2, linear or branched C1-6 alkyl, C3-7 cycloalkyl; R4-R7 = H, linear or branched C1-6 alkyl, C1-6 alkoxy, Ph, halo, NO2, cyano, etc.], esp. useful in treating CNS conditions sensitive to excitatory amino acids. To a stirred soln. of diketone II (R1 = H, Z = O) in DMF was added 55% NaH in mineral oil, followed by MeI with stirring at room temp. to give II (R1 = Me, Z = O), which was treated with MeONH2.HCl and Na2CO3 at room temp. to give oxime II (R1 = Me, Z = MeON). Also prepd. were 54 addnl. I which were effective in treating CNS disorders at 30-100 mg/day.

MSTR 2

= CH2PhG1 G7 = Ph MPL: claim 13

ANSWER 33 OF 35 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 114:42571 MARPAT

TITLE:

Preparation of intermediates for making

2-oxindole-1-carboxamides

INVENTOR(S): Kelly, Sarah E. PATENT ASSIGNEE(S): Pfizer Inc., USA SOURCE: U.S., 7 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT:

| PATENT NO. | KIND | DATE | API | PLICATION NO | DATE |
|-------------------|------------|-------------|-----------|--------------|------------|
| US 4952703 | A | 19900828 | US | 1989-357138 | 19890525 |
| EP 399748 | A2 | 19901128 | EP | 1990-305464 | 19900521 |
| EP 399748 | A3 | 19920108 | | | |
| EP 399748 | В1 | 19960124 | | | |
| R: AT, | BE, CH, DI | E, DK, ES, | FR, GB, G | GR, IT, LI, | LU, NL, SE |
| AT 133409 | E | 19960215 | AT | 1990-305464 | 19900521 |
| ES 2083427 | Т3 | 19960416 | ES | 1990-305464 | 19900521 |
| CA 2017328 | AA | 19901125 | CA | 1990-201732 | 8 19900523 |
| JP 03011061 | A2 | 19910118 | JP | 1990-135177 | 19900524 |
| JP 07119211 | В4 | 19951220 | | | |
| US 5086186 | A | 19920204 | US | 1990-531952 | 19900531 |
| JP 07215935 | A2 | 19950815 | JP | 1994-293236 | 19941128 |
| JP 2500853 | B2 | 19960529 | | | |
| PRIORITY APPLN. I | NFO.: | | US | 1989-357138 | 19890525 |
| OTHER SOURCE(S): | CZ | ASREACT 114 | 4:42571 | | |
| GI | | | | | |

The title intermediates, i.e. N-(trichloroacetyl) amides I [X = H, Br, Cl,ÆΒ F, C1-4 alkyl, C3-7 cycloalkyl, C1-4 alkoxy, C1-4 alkylthio, F3C, etc.; Y = H, Br, Cl, F, Cl-4 alkyl, C3-7 cycloalkyl, C1-4 alkoxy, C1-4 alkylthio, F3C; or XY = methylenedioxy, ethylenedioxy, XYC = trimethylene, tetramethylene, etc.; R = H, R1CO, R1 = C1-6 alkyl, (substituted) Ph, naphthyl, etc.], are prepd. and hydrolyzed to 2-oxindole-1-carboxamides useful as analgesics and antiinflammatories or intermediates thereof. 5-Chloro-2-oxindole, MePh and Cl3CCONCO were warmed to 80.degree. to give I (X = R = H; Y = 5-C1) (II). II, MeOH and H2SO4 were heated to 45.degree. to give 2-chloro-2-oxindole-1-carboxamide.

MSTR 1A

MPL: claim 1

MARPAT COPYRIGHT 2004 ACS on STN L5ANSWER 34 OF 35

ACCESSION NUMBER: 113:217781 MARPAT

TITLE: Preparation of 3-aryliminoindolin-2-one hair dyes

INVENTOR(S): Anderson, James S.; Schultz, Thomas M.

PATENT ASSIGNEE(S): Bristol-Myers Co., USA SOURCE: Eur. Pat. Appl., 9 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------------|--------|---------------|-----------------|----------|
| EP 359465 | A2 | 19900321 | EP 1989-309007 | 19890906 |
| EP 359465 | A3 | 19901227 | | |
| EP 359465 | В1 | 19931118 | | |
| R: BE, CH, | DE, ES | , FR, GB, IT, | LI, NL, SE | |
| US 4921503 | A | 19900501 | US 1988-243525 | 19880912 |
| CA 1327938 | A1 | 19940322 | CA 1988-583086 | 19881115 |
| JP 02104778 | A2 | 19900417 | JP 1989-234827 | 19890912 |
| JP 2929203 | В2 | 19990803 | | |
| PRIORITY APPLN. INFO | . : | | US 1988-243525 | 19880912 |
| GI | | | | |

$$\begin{array}{c|c} R4 \\ R5 \\ R2 \\ R1 \\ O \end{array}$$

AB The title compds. I [R1 = H, alkyl, Ac, Bz, Ph; R2, R3 = H, alkyl, OH, NH2, halo, NO2, etc.; R4, R5 = H, halo, alkyl, (un)substituted Ph, etc.] are hair dyes. I may be prepd. in situ from the corresponding isatins and anilines. A soln. of 1 g isatin and 1 g p-phenylenediamine in 30 mL EtOH and 70 mL H2O was applied to hair for 20 min, to produce a red color. I (11) were prepd. as usual.

MSTR 1

G1 = COMe

G2 = Ph (SO (1-) alkyl<(1-6)>)

MPL: claim 1

L5 ANSWER 35 OF 35 MARPAT COPYRIGHT 2004 ACS on STN

Ι

ACCESSION NUMBER: 111:153842 MARPAT

TITLE: Neuroleptic arylpiperazinylalkyl-substituted

heterocycles and their pharmaceutical compositions and

use

INVENTOR(S): Lowe, John A., III.; Nagel, Arthur A.

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S., 9 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | AP | PLICATION NO. | DATE |
|----------------------|-------------|-----------------|----|---------------|----------|
| - | | | | | |
| US 4831031 | A | 19890516 | US | 1988-146886 | 19880122 |
| IN 173938 | Α | 19940813 | IN | 1988-DE139 | 19880219 |
| US 4883795 | А | 19891128 | US | 1989-300995 | 19890123 |
| PRIORITY APPLN. INFO |) .: | | US | 1988-146886 | 19880122 |
| OTHER SOURCE(S): | CA | SREACT 111:1538 | 42 | | |
| GI | | * | | | |

$$ArN N(C_2H_4)_n Y$$

AB Title compds. I [Ar = benzothiazolyl, benzothiadiazolyl, benzotriazolyl, benzoxazolyl, benzoxazolonyl, indolyl, phthalazinyl, (un)substituted naphthyl, quinolyl, isoquinolyl, benzoisothiazolyl indanyl, 3-indazolyl; n = 1, 2; X and Y plus attached Ph = benzimidazolonyl, benzotriazolyl, (un)substituted quinolyl, benzothiazolyl, benzoisothiazolyl, indolyl, spiro[cyclopentaneindolinyl]] are prepd. as neuroleptics (no data). Benzoxazolone was acylated by BrCH2CO2H and polyphosphoric acid, and the bromoacetyl deriv. reduced by Et3SiH and CF3CO2H, to give 11% 6-(2-bromoethyl)benzoxazolone. Alkylation of N-(3-benzisothiazolyl)piperazine by the bromide in MIBK contg. Na2CO3 gave benzoxazolone II.

MSTR 1B

$$G1$$
 N
 N
 $G3$
 $G4$
 $G2$
 $G2$
 $G2$

G4 = 75

G5 = alkyl < (1-3) > / 213 / 210 / 215

G7 = 213 / 210 / 215

DER: or a pharmaceutically acceptable acid addition salt

MPL: claim 1

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(FILE 'HOME' ENTERED AT 15:37:16 ON 25 FEB 2004)

FILE 'REGISTRY' ENTERED AT 15:37:20 ON 25 FEB 2004

L1 STRUCTURE UPLOADED

L4 1 S L3

FILE 'MARPAT' ENTERED AT 15:37:59 ON 25 FEB 2004

FILE 'CA' ENTERED AT 15:37:45 ON 25 FEB 2004

L5 35 S L1 FULL

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---Logging off of STN---

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=> LOG Y

STN INTERNATIONAL LOGOFF AT 15:40:14 ON 25 FEB 2004